```
=> s l10
L11         277 L10

=> s l11 and pd<=2004
      25075829 PD<=2004
                 (PD<=20049999)
L12         190 L11 AND PD<=2004

=> s l12 and (benzodiazepine or benzothiazoline or phenylacetamide or benzimidazole
or benzoxazol)
          22291 BENZODIAZEPINE
          11328 BENZODIAZEPINES
          25245 BENZODIAZEPINE
                 (BENZODIAZEPINE OR BENZODIAZEPINES)
           1316 BENZOTHIAZOLINE
            266 BENZOTHIAZOLINES
           1401 BENZOTHIAZOLINE
                 (BENZOTHIAZOLINE OR BENZOTHIAZOLINES)
           1952 PHENYLACETAMIDE
            335 PHENYLACETAMIDES
           2099 PHENYLACETAMIDE
                 (PHENYLACETAMIDE OR PHENYLACETAMIDES)
          26587 BENZIMIDAZOLE
           6480 BENZIMIDAZOLES
          28082 BENZIMIDAZOLE
                 (BENZIMIDAZOLE OR BENZIMIDAZOLES)
            931 BENZOXAZOL
              2 BENZOXAZOLS
            931 BENZOXAZOL
                 (BENZOXAZOL OR BENZOXAZOLS)
L13          28 L12 AND (BENZODIAZEPINE OR BENZOTHIAZOLINE OR PHENYLACETAMIDE
                 OR BENZIMIDAZOLE OR BENZOXAZOL)

=> d ibib abs hitstr 1-28

L13  ANSWER 1 OF 28  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:799443  CAPLUS
DOCUMENT NUMBER:          141:314324
TITLE:                    Preparation of bicyclic anilide spirohydantoin CGRP
                          receptor antagonists
INVENTOR(S):              Bell, Ian M.; Gallicchio, Steven N.; Theberge, Cory
                          R.; Zhang, Xu-Fang; Stump, Craig; Zartman, C. Blair
PATENT ASSIGNEE(S):       Merck & Co. Inc., USA
SOURCE:                   PCT Int. Appl., 120 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2004082605         A2    20040930    WO 2004-US7289          20040310 <--
     WO 2004082605         A3    20041118
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
```

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004222383	A1	20040930	AU 2004-222383	20040310	<--
CA 2519475	A1	20040930	CA 2004-2519475	20040310	<--
EP 1608627	A2	20051228	EP 2004-719222	20040310	
EP 1608627	B1	20080507			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

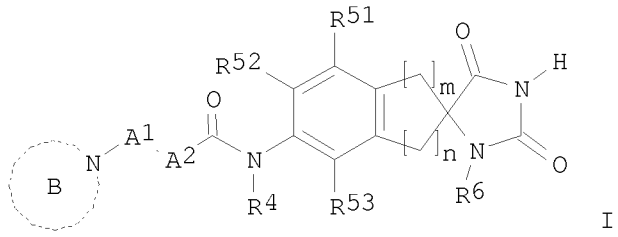
JP 2006520383	T	20060907	JP 2006-507033	20040310	
AT 394400	T	20080515	AT 2004-719222	20040310	
US 20060211712	A1	20060921	US 2005-549338	20050913	
US 7202251	B2	20070410			

PRIORITY APPLN. INFO.:

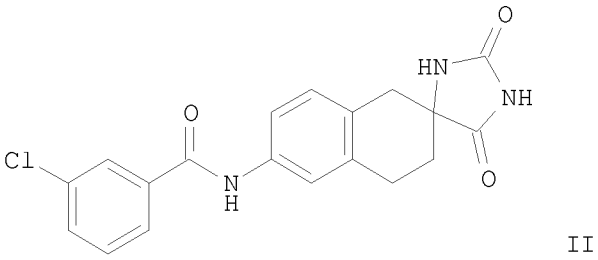
US 2003-455609P	P	20030314
US 2003-486642P	P	20030711
WO 2004-US7289	A	20040310

OTHER SOURCE(S): CASREACT 141:314324; MARPAT 141:314324

GI



I



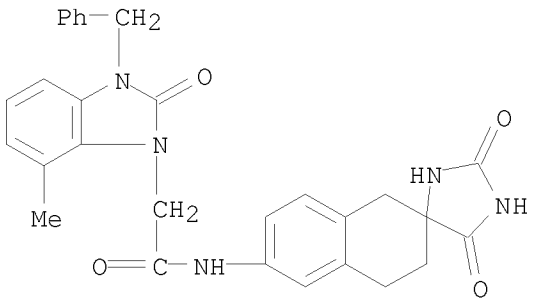
II

AB The title compds. [I; B = (un)substituted bicycloheterocycle; A1, A2 = a bond, (un)substituted CH2; R4 = H, alkyl, fluoroalkyl, cycloalkyl, etc.; R51, R52, R53 = H, alkyl, alkoxy, etc.; R6 = H, alkyl, cycloalkyl, etc.; m, n = 1-2] that are antagonists of CGRP receptors and that are useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache, were prepared E.g., a multi-step synthesis of II, starting from 6-bromo-2-tetralone, was given. The exemplified compds. I had activity as antagonists of the CGRP receptor, generally with a Ki or IC50 value of <50μM. The invention is also directed to pharmaceutical compns. comprising the compds. I and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

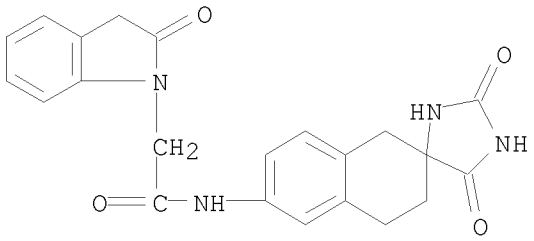
IT **767303-71-9P 767303-73-1P 767303-75-3P**

767303-77-5P 767303-78-6P 767303-79-7P
767303-80-0P 767303-81-1P 767303-82-2P
767303-86-6P 767303-87-7P 767303-88-8P
767303-89-9P 767303-90-2P 767303-91-3P
767303-92-4P 767303-93-5P 767303-94-6P
767303-97-9P 767303-98-0P 767303-99-1P
767304-00-7P 767304-01-8P 767304-02-9P
767304-03-0P 767304-04-1P 767304-05-2P
767304-08-5P 767304-09-6P 767304-10-9P
767304-11-0P 767304-12-1P 767304-13-2P
767304-14-3P 767304-15-4P 767304-16-5P
767304-17-6P 767304-18-7P 767304-19-8P
767304-20-1P 767304-21-2P 767304-22-3P
767304-23-4P 767304-24-5P 767304-25-6P
767304-26-7P 767304-27-8P 767304-28-9P
767304-32-5P 767304-33-6P 767304-34-7P
767304-35-8P 767304-36-9P 767304-37-0P
767304-38-1P 767304-39-2P 767304-40-5P
767304-41-6P 767304-42-7P 767304-43-8P
767304-44-9P 767304-45-0P 767304-46-1P
767304-47-2P 767304-48-3P 767304-49-4P
767304-58-5P 767304-59-6P 767304-60-9P
767304-61-0P 767304-62-1P 767304-63-2P
767304-64-3P 767304-65-4P 767304-66-5P
767305-84-0P 767305-85-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic anilide spirohydantoin CGRP receptor antagonists)

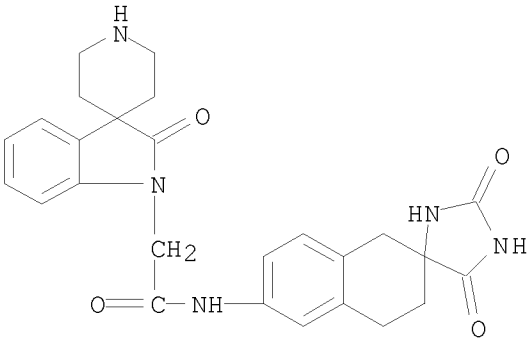
RN 767303-71-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-7-methyl-2-oxo-3-(phenylmethyl)- (CA INDEX NAME)



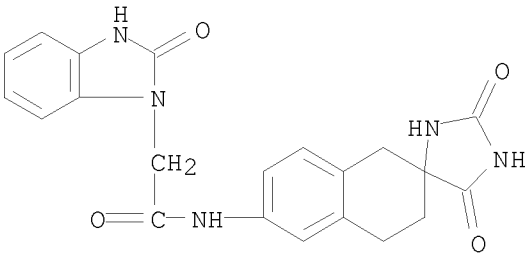
RN 767303-73-1 CAPLUS
CN 1H-Indole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



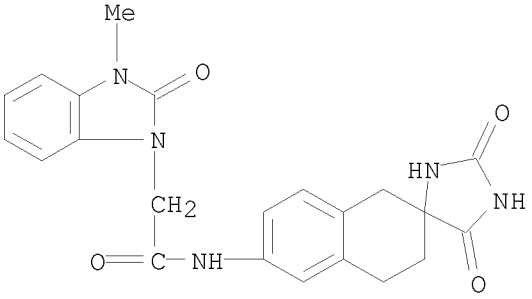
RN 767303-75-3 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1(2H)-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2-oxo- (CA INDEX NAME)



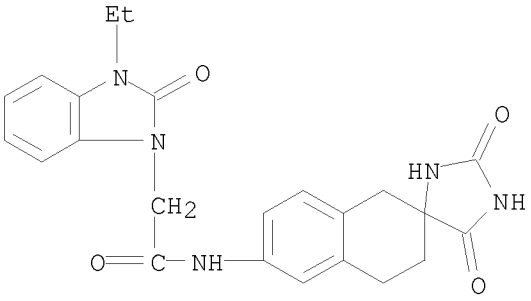
RN 767303-77-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



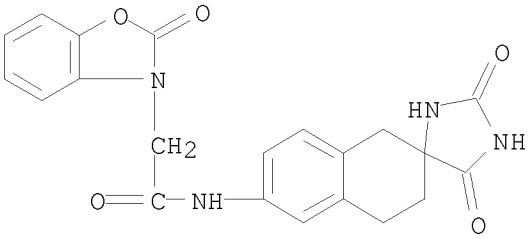
RN 767303-78-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-3-methyl-2-oxo- (CA INDEX NAME)



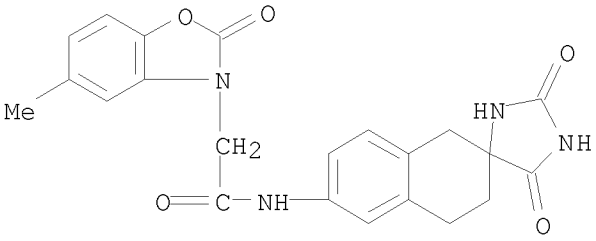
RN 767303-79-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-3-ethyl-2,3-dihydro-2-oxo- (CA INDEX NAME)



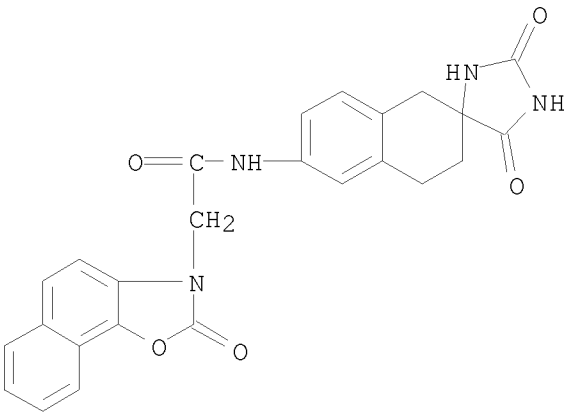
RN 767303-80-0 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2-oxo- (CA INDEX NAME)



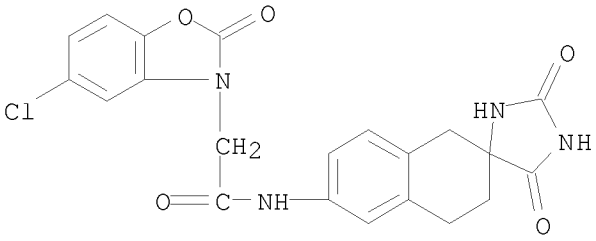
RN 767303-81-1 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-5-methyl-2-oxo- (CA INDEX NAME)



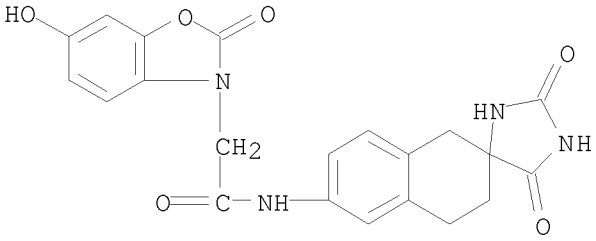
RN 767303-82-2 CAPLUS
CN Naphth[2,1-d]oxazole-3(2H)-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2-oxo- (CA INDEX NAME)



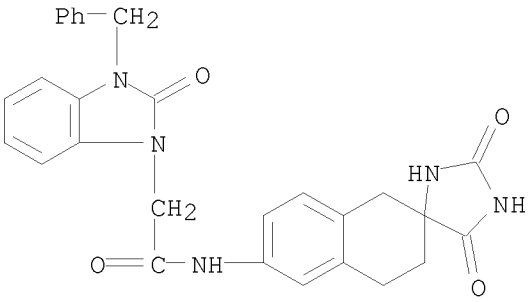
RN 767303-86-6 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-chloro-N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2-oxo- (CA INDEX NAME)



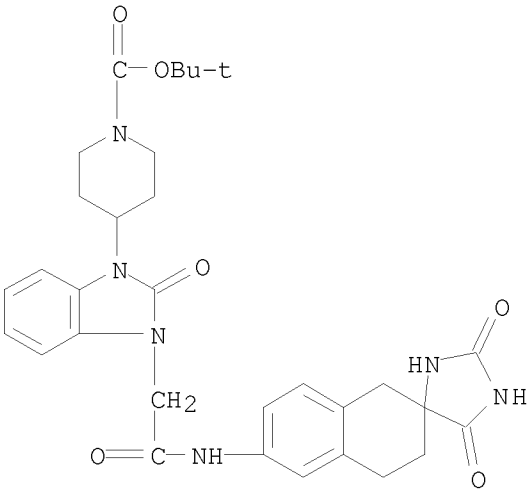
RN 767303-87-7 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-6-hydroxy-2-oxo- (CA INDEX NAME)



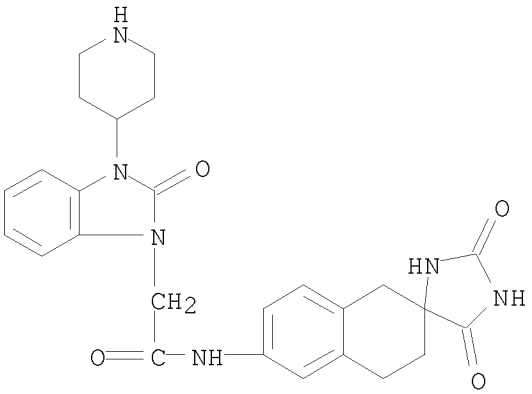
RN 767303-88-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-2-oxo-3-(phenylmethyl)- (CA INDEX NAME)



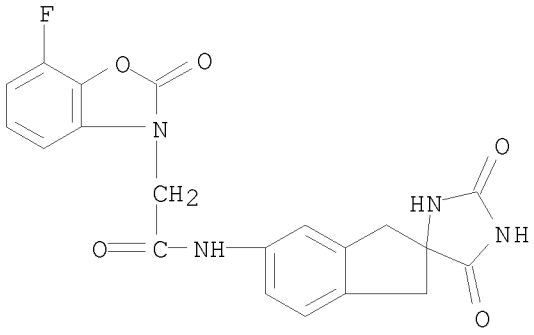
RN 767303-89-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[2-[(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)amino]-2-oxoethyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



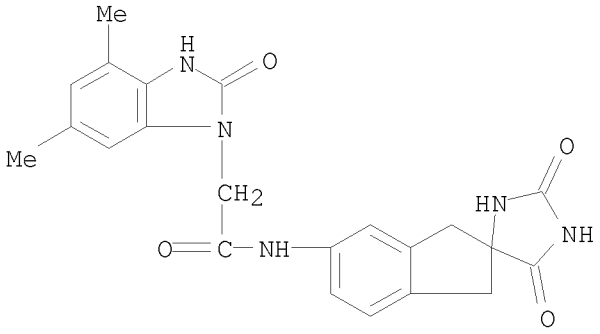
RN 767303-90-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-6'-yl)-2,3-dihydro-2-oxo-3-(4-piperidinyl)- (CA INDEX NAME)



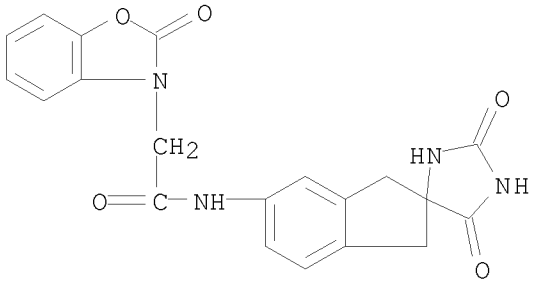
RN 767303-91-3 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-7-fluoro-2-oxo- (CA INDEX NAME)



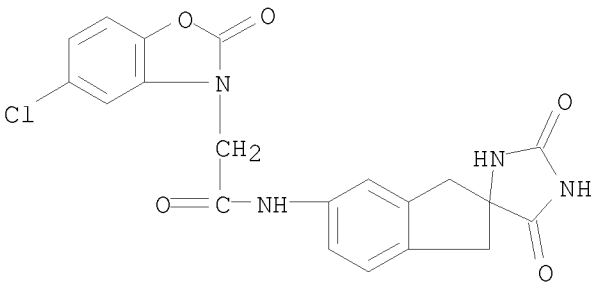
RN 767303-92-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-4,6-dimethyl-2-oxo- (CA INDEX NAME)



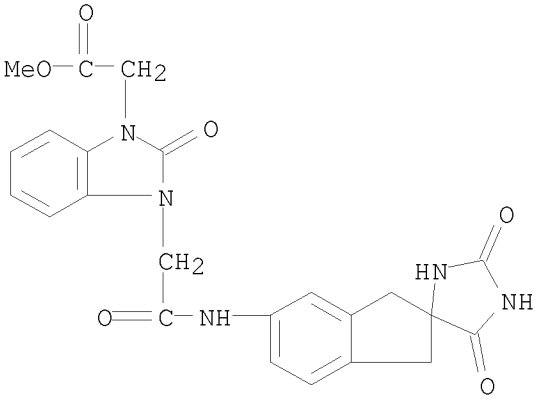
RN 767303-93-5 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



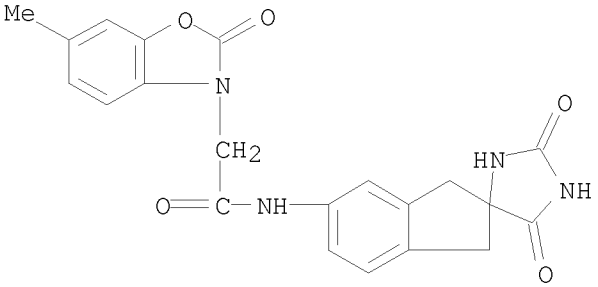
RN 767303-94-6 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-chloro-N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



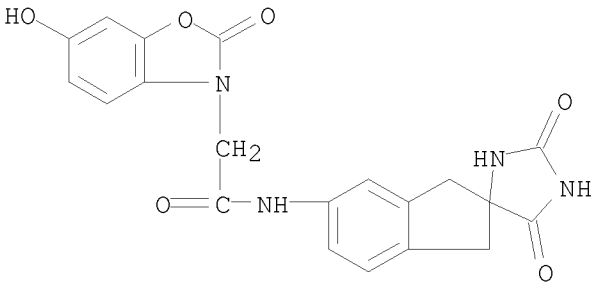
RN 767303-97-9 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 3-[2-[(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-2-oxo-, methyl ester (CA INDEX NAME)



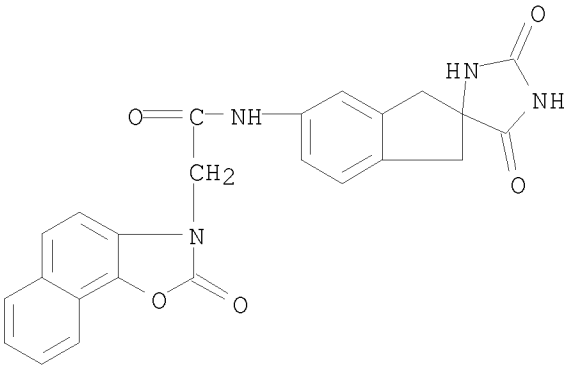
RN 767303-98-0 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-methyl-2-oxo- (CA INDEX NAME)



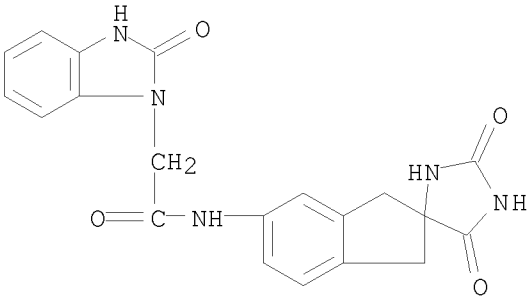
RN 767303-99-1 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-hydroxy-2-oxo- (CA INDEX NAME)



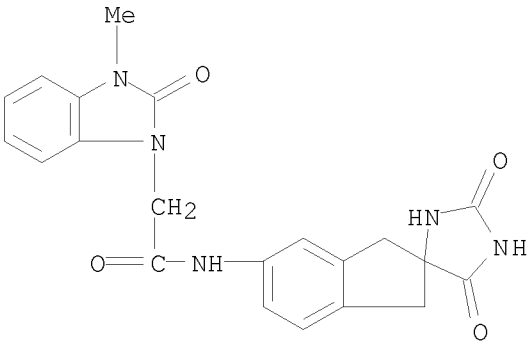
RN 767304-00-7 CAPLUS
CN Naphth[2,1-d]oxazole-3(2H)-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



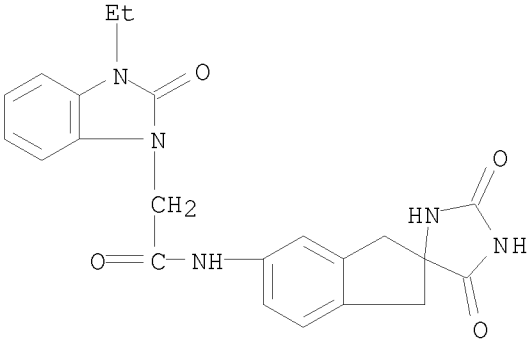
RN 767304-01-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



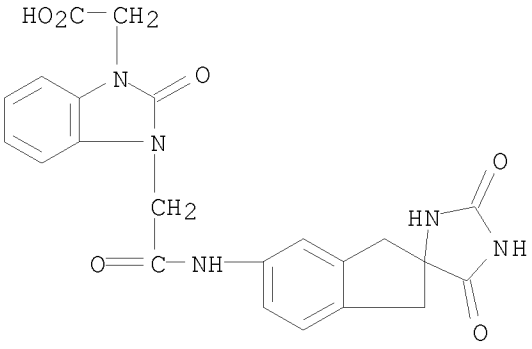
RN 767304-02-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-methyl-2-oxo- (CA INDEX NAME)



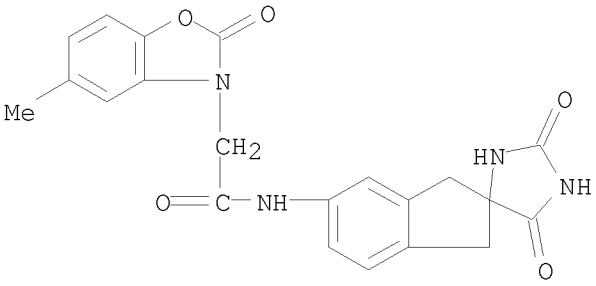
RN 767304-03-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-3-ethyl-2,3-dihydro-2-oxo- (CA INDEX NAME)



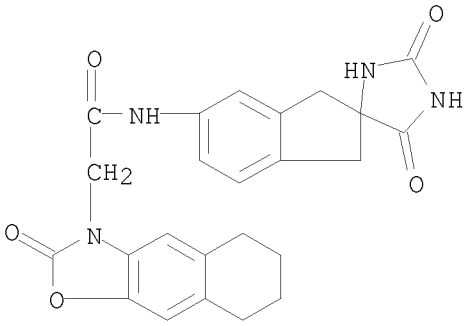
RN 767304-04-1 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 3-[2-[(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)



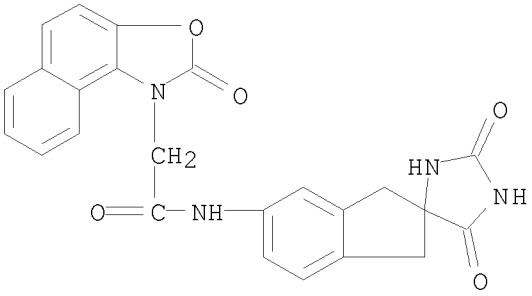
RN 767304-05-2 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-5-methyl-2-oxo- (CA INDEX NAME)



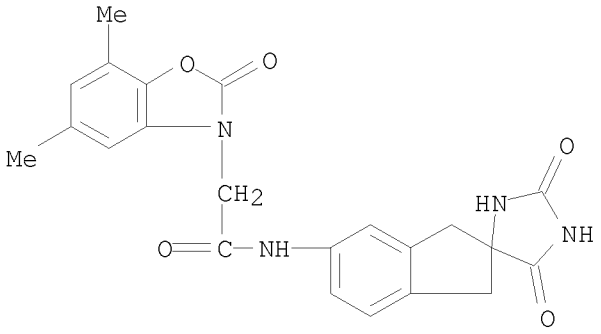
RN 767304-08-5 CAPLUS
CN Naphth[2,3-d]oxazole-3(2H)-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-5,6,7,8-tetrahydro-2-oxo- (CA INDEX NAME)



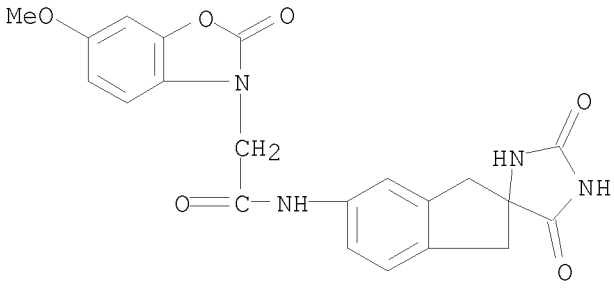
RN 767304-09-6 CAPLUS
CN Naphth[1,2-d]oxazole-1(2H)-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



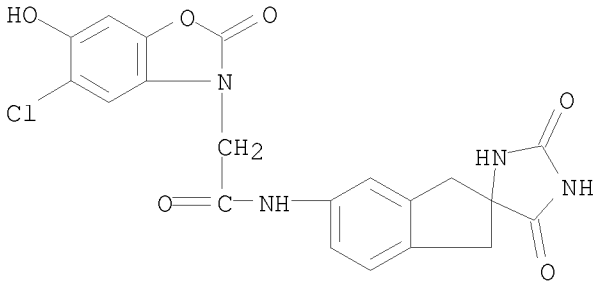
RN 767304-10-9 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-5,7-dimethyl-2-oxo- (CA INDEX NAME)



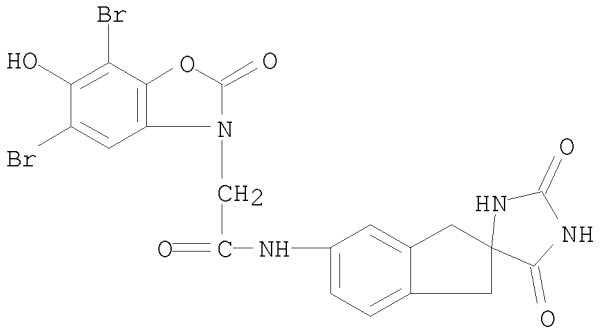
RN 767304-11-0 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-methoxy-2-oxo- (CA INDEX NAME)



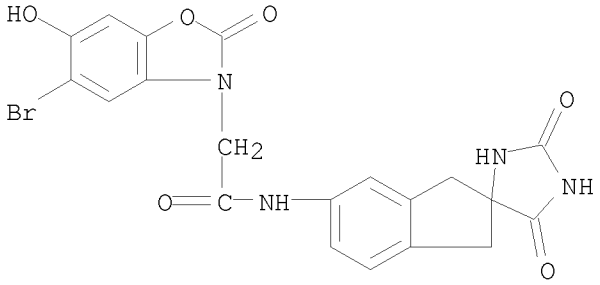
RN 767304-12-1 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-chloro-N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-hydroxy-2-oxo- (CA INDEX NAME)



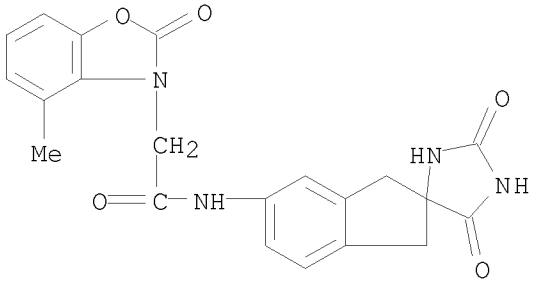
RN 767304-13-2 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5,7-dibromo-N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-hydroxy-2-oxo- (CA INDEX NAME)



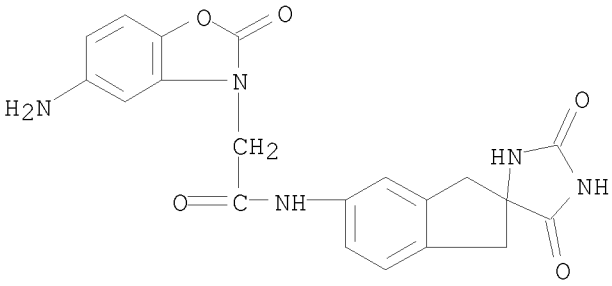
RN 767304-14-3 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-bromo-N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-hydroxy-2-oxo- (CA INDEX NAME)



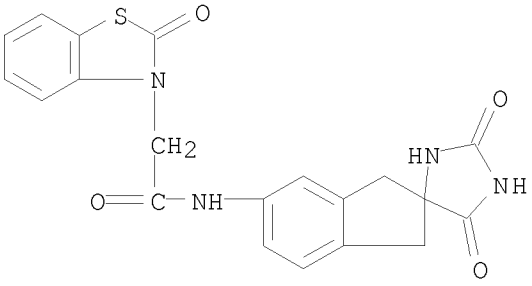
RN 767304-15-4 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-4-methyl-2-oxo- (CA INDEX NAME)



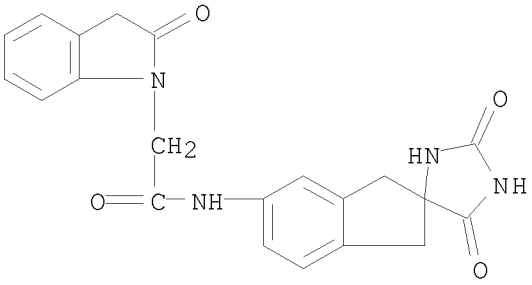
RN 767304-16-5 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-amino-N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



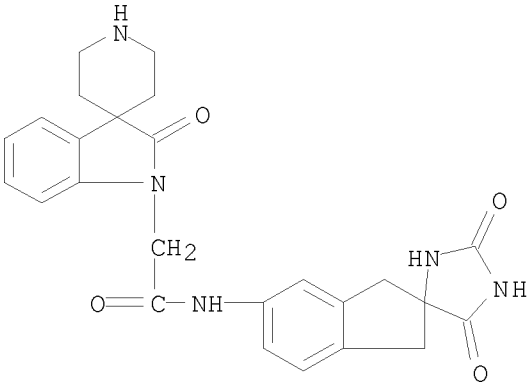
RN 767304-17-6 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



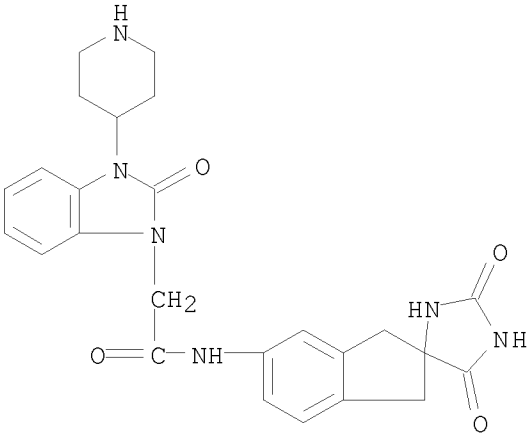
RN 767304-18-7 CAPLUS
CN 1H-Indole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



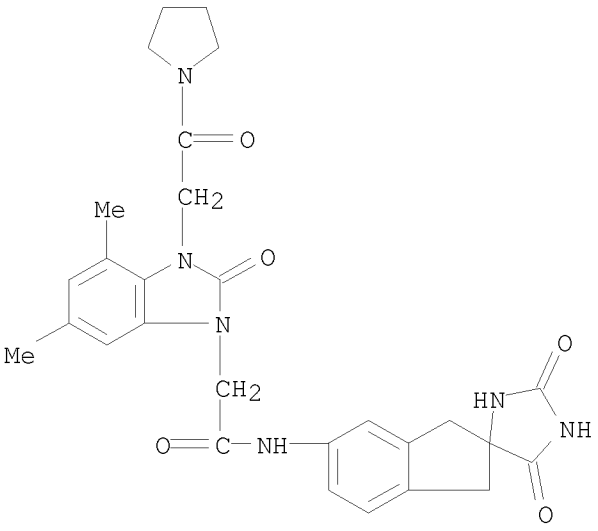
RN 767304-19-8 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1(2H)-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo- (CA INDEX NAME)



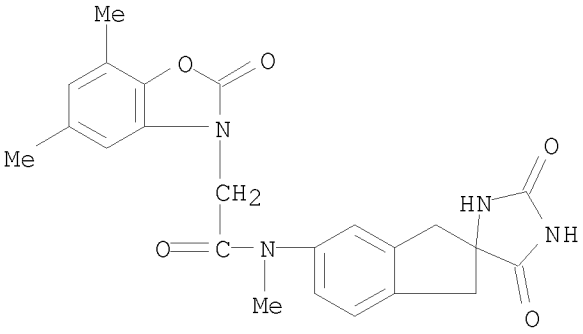
RN 767304-20-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(4-piperidinyl)- (CA INDEX NAME)



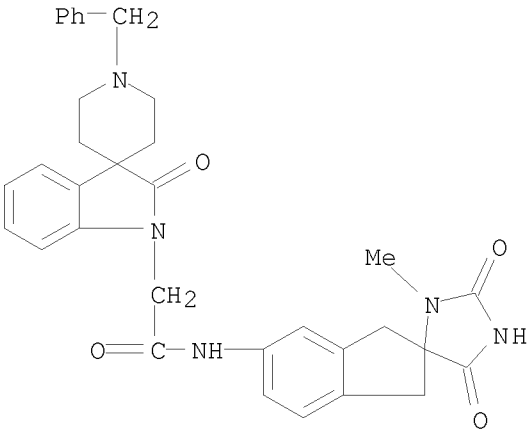
RN 767304-21-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-4,6-dimethyl-2-oxo-3-[2-oxo-2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



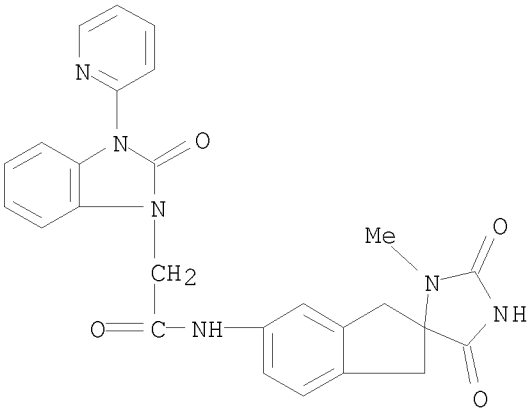
RN 767304-22-3 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-(1',3'-dihydro-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-N,5,7-trimethyl-2-oxo- (CA INDEX NAME)



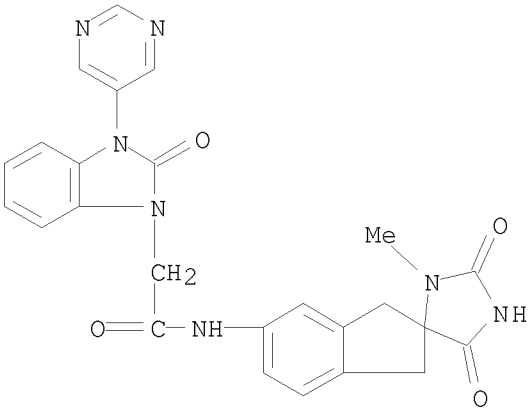
RN 767304-23-4 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1(2H)-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo-1'-(phenylmethyl)- (CA INDEX NAME)



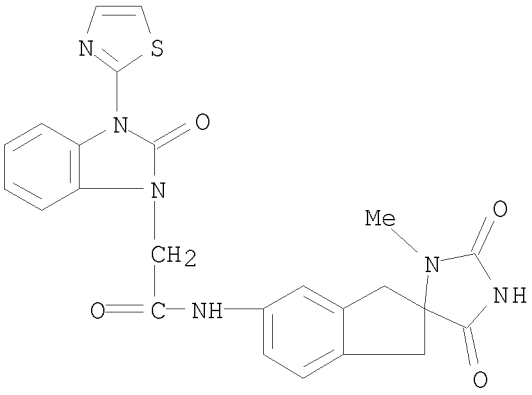
RN 767304-24-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



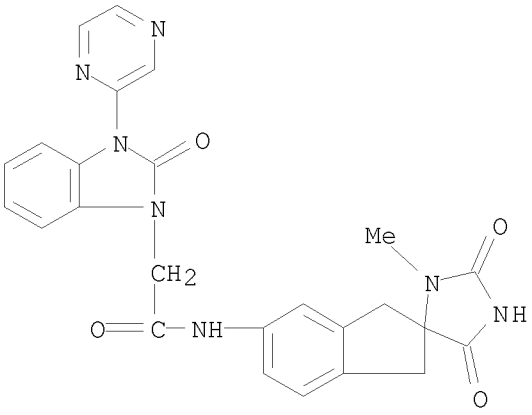
RN 767304-25-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(5-pyrimidinyl)- (CA INDEX NAME)



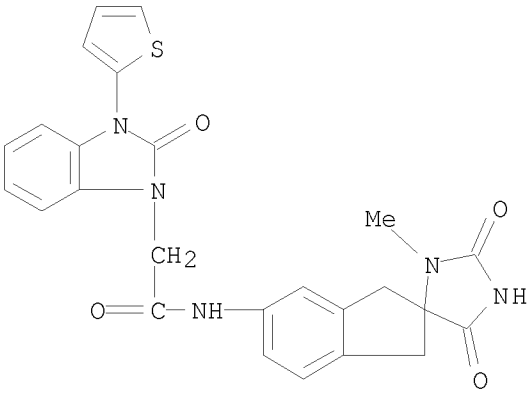
RN 767304-26-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-thiazolyl)- (CA INDEX NAME)



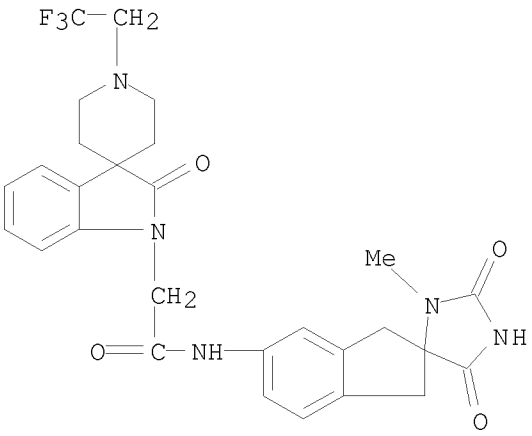
RN 767304-27-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyrazinyl)- (CA INDEX NAME)



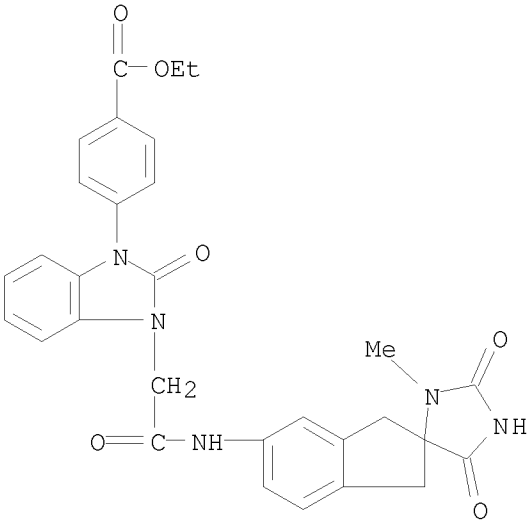
RN 767304-28-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-thienyl)- (CA INDEX NAME)



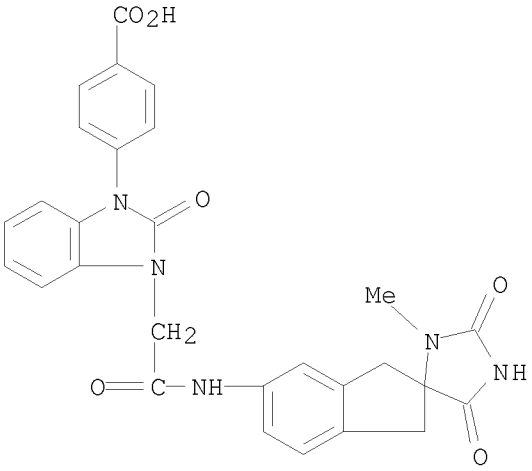
RN 767304-32-5 CAPLUS
CN Spiro[3H-indole-3,4'-piperidine]-1(2H)-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2-oxo-1'-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



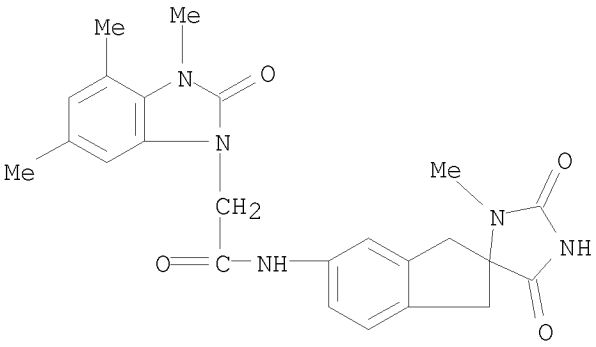
RN 767304-33-6 CAPLUS
CN Benzoic acid, 4-[3-[2-[(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]-, ethyl ester (CA INDEX NAME)



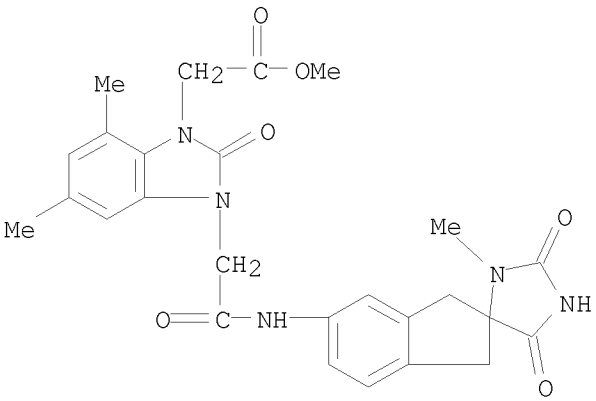
RN 767304-34-7 CAPLUS
CN Benzoic acid, 4-[3-[2-[(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]- (CA INDEX NAME)



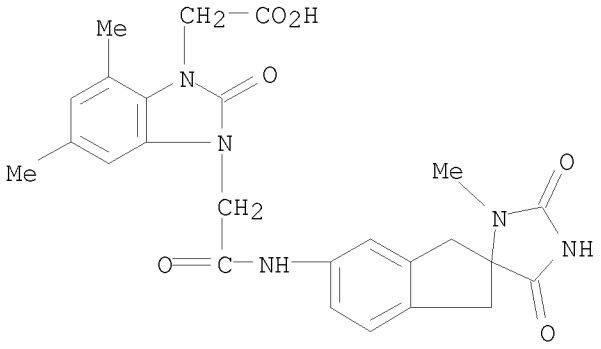
RN 767304-35-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3,4,6-trimethyl-2-oxo- (CA INDEX NAME)



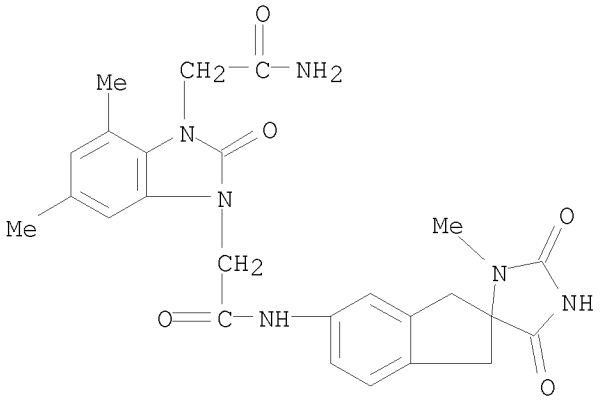
RN 767304-36-9 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 3-[2-[(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-5,7-dimethyl-2-oxo-, methyl ester (CA INDEX NAME)



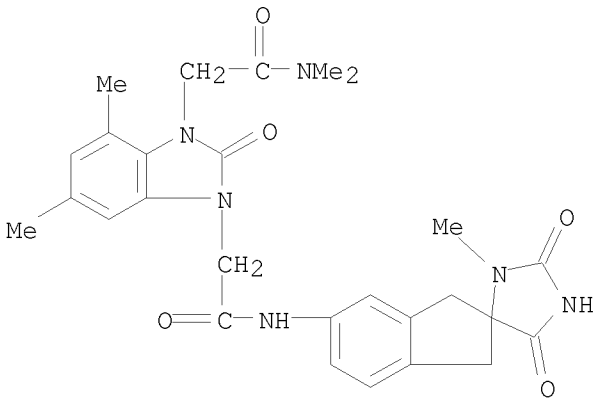
RN 767304-37-0 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 3-[2-[(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2,3-dihydro-5,7-dimethyl-2-oxo- (CA INDEX NAME)



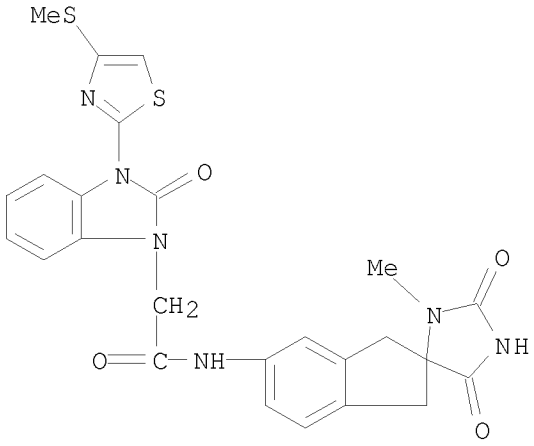
RN 767304-38-1 CAPLUS
CN 1H-Benzimidazole-1,3(2H)-diacetamide, N1-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-4,6-dimethyl-2-oxo- (CA INDEX NAME)



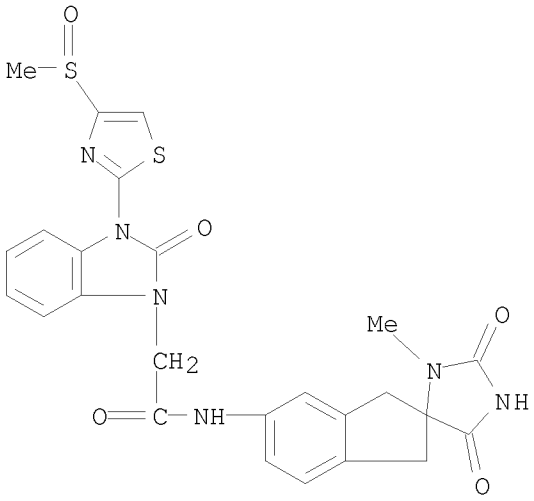
RN 767304-39-2 CAPLUS
CN 1H-Benzimidazole-1,3(2H)-diacetamide, N3-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-N1,N1,5,7-tetramethyl-2-oxo- (CA INDEX NAME)



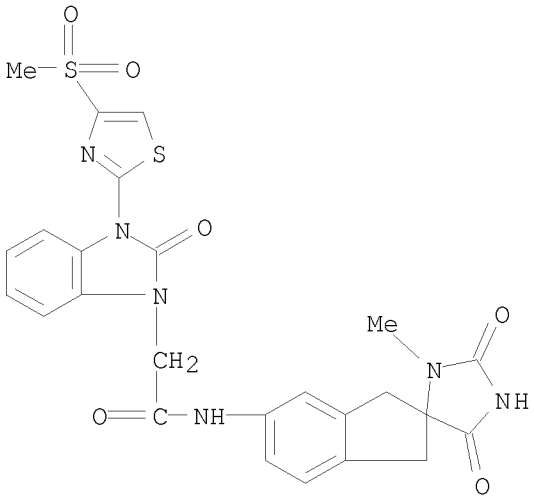
RN 767304-40-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-[4-(methylthio)-2-thiazolyl]-2-oxo- (CA INDEX NAME)



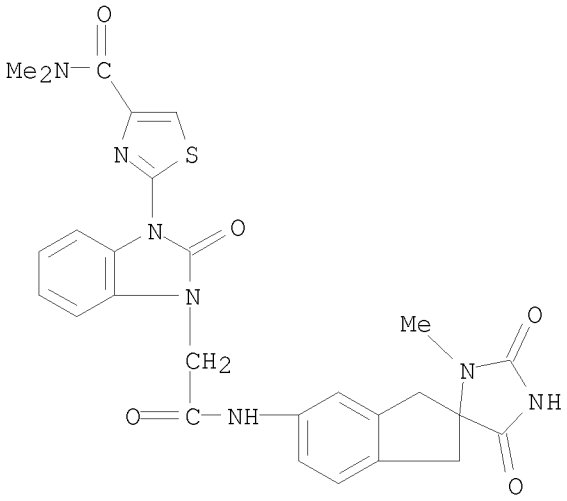
RN 767304-41-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-[4-(methylsulfinyl)-2-thiazolyl]-2-oxo- (CA INDEX NAME)



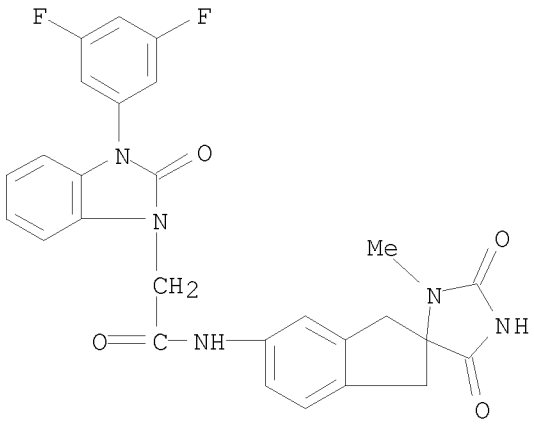
RN 767304-42-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-[4-(methylsulfonyl)-2-thiazolyl]-2-oxo- (CA INDEX NAME)



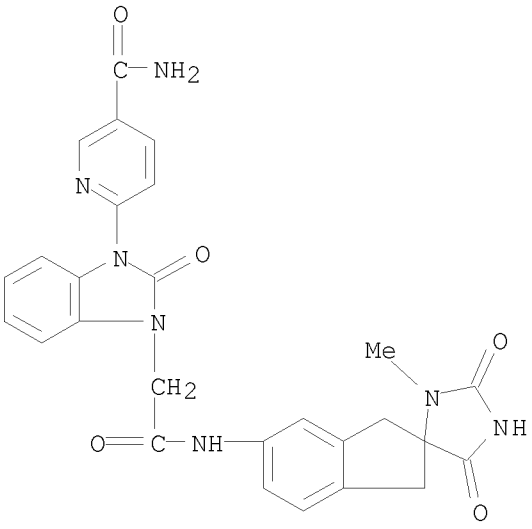
RN 767304-43-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-3-[4-[(dimethylamino)carbonyl]-2-thiazolyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)



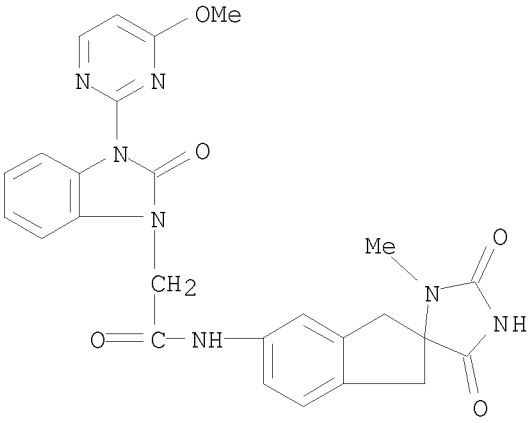
RN 767304-44-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 3-(3,5-difluorophenyl)-N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



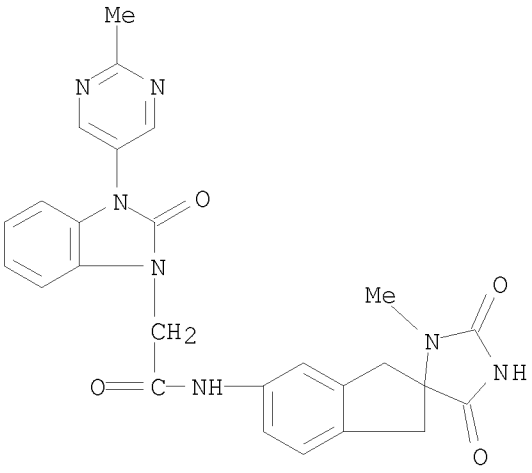
RN 767304-45-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 3-[5-(aminocarbonyl)-2-pyridinyl]-N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



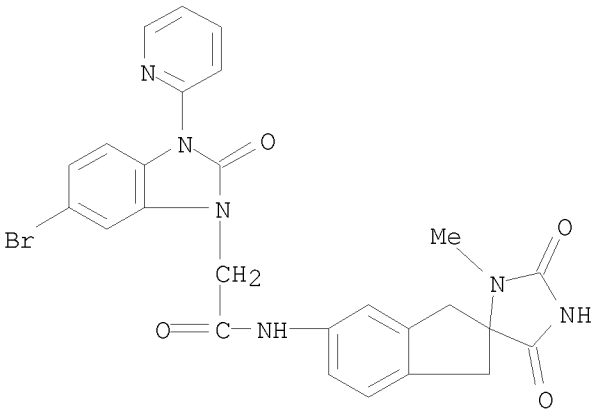
RN 767304-46-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-(4-methoxy-2-pyrimidinyl)-2-oxo- (CA INDEX NAME)



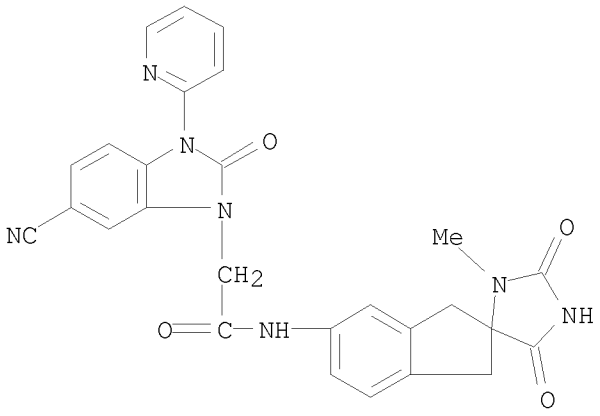
RN 767304-47-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-3-(2-methyl-5-pyrimidinyl)-2-oxo- (CA INDEX NAME)



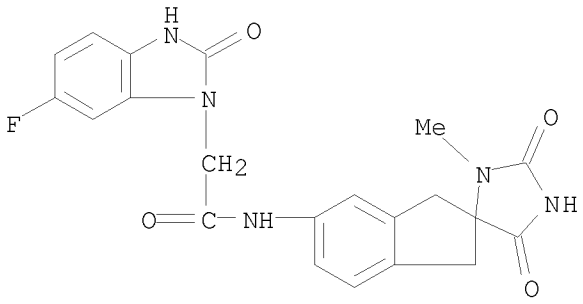
RN 767304-48-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 6-bromo-N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



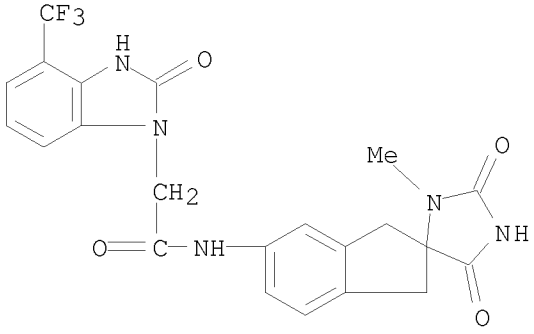
RN 767304-49-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 6-cyano-N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



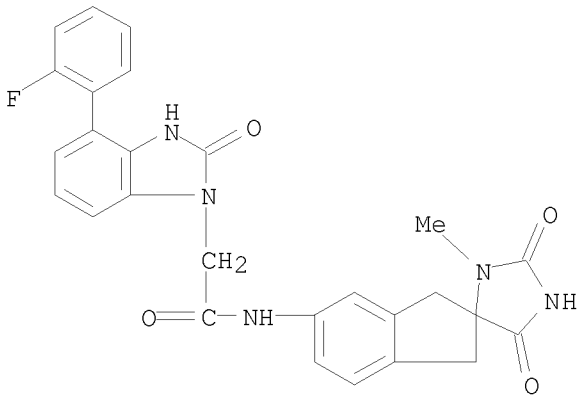
RN 767304-58-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-6-fluoro-2,3-dihydro-2-oxo- (CA INDEX NAME)



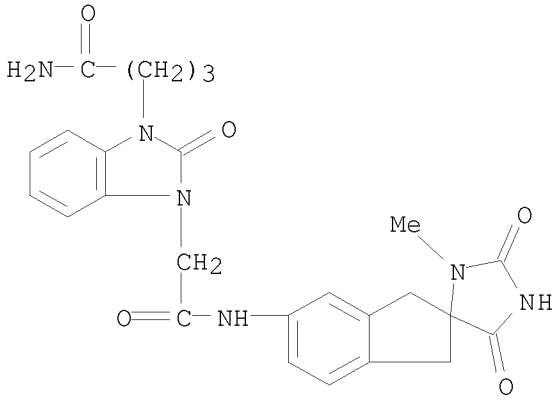
RN 767304-59-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-4-(trifluoromethyl)- (CA INDEX NAME)



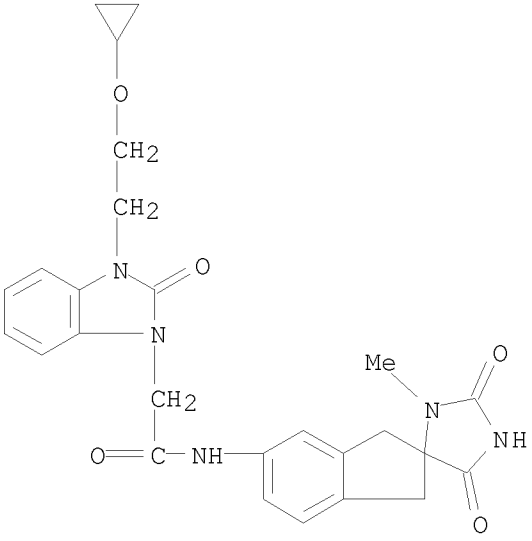
RN 767304-60-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-4-(2-fluorophenyl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



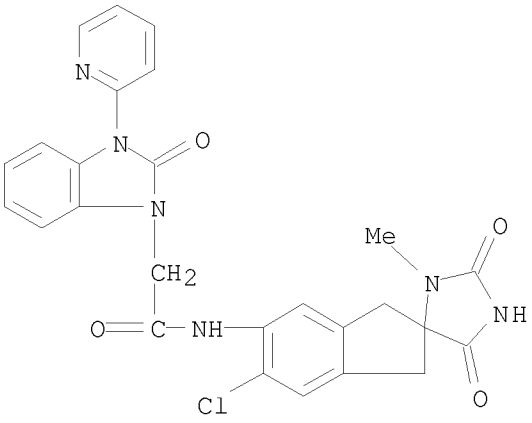
RN 767304-61-0 CAPLUS
CN 1H-Benzimidazole-1(2H)-butanamide, 3-[2-[(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)amino]-2-oxoethyl]-2-oxo- (CA INDEX NAME)



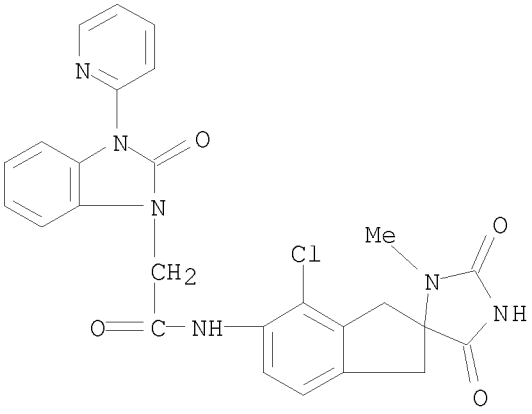
RN 767304-62-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 3-[2-(cyclopropyloxy)ethyl]-N-(1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



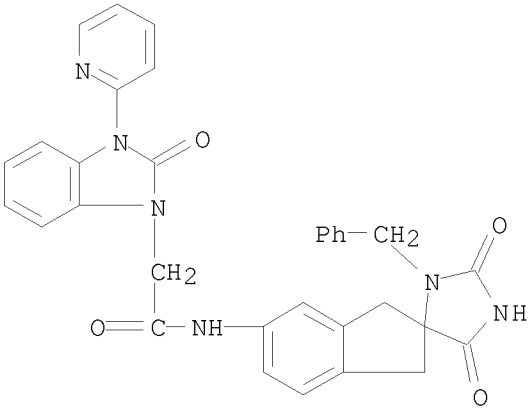
RN 767304-63-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(6'-chloro-1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



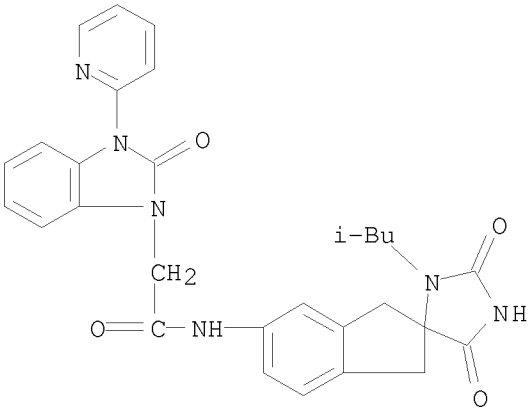
RN 767304-64-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(4'-chloro-1',3'-dihydro-3-methyl-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl)-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



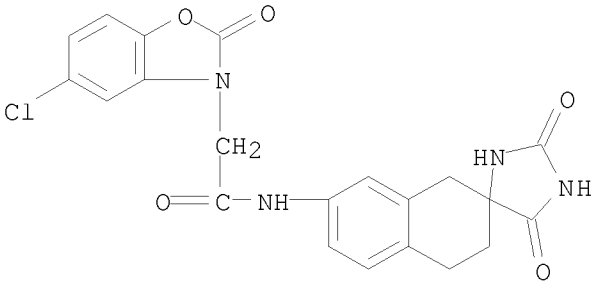
RN 767304-65-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1',3'-dihydro-2,5-dioxo-3-(phenylmethyl)spiro[imidazolidine-4,2'-[2H]inden]-5'-yl]-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



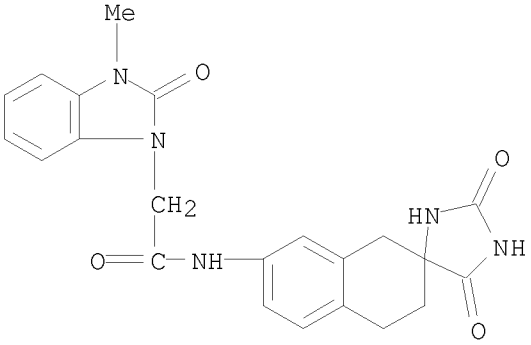
RN 767304-66-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[1',3'-dihydro-3-(2-methylpropyl)-2,5-dioxospiro[imidazolidine-4,2'-[2H]inden]-5'-yl]-2,3-dihydro-2-oxo-3-(2-pyridinyl)- (CA INDEX NAME)



RN 767305-84-0 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-chloro-N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-7'-yl)-2-oxo- (CA INDEX NAME)



RN 767305-85-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(3',4'-dihydro-2,5-dioxospiro[imidazolidine-4,2'(1'H)-naphthalen]-7'-yl)-2,3-dihydro-3-methyl-2-oxo- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

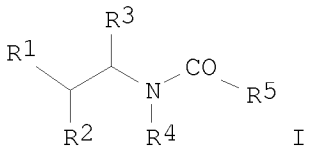
L13 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757469 CAPLUS
DOCUMENT NUMBER: 139:276471
TITLE: Preparation of substituted amides as antagonists and/or inverse agonists of the cannabinoid-1 receptor for therapy
INVENTOR(S): Hagmann, William K.; Lin, Linus S.; Shah, Shrenik K.; Guthikonda, Ravindra N.; Qi, Hongbo; Chang, Linda L.; Liu, Ping; Armstrong, Helen M.; Jewell, James P.; Lanza, Thomas J., Jr.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; et al.
SOURCE: PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

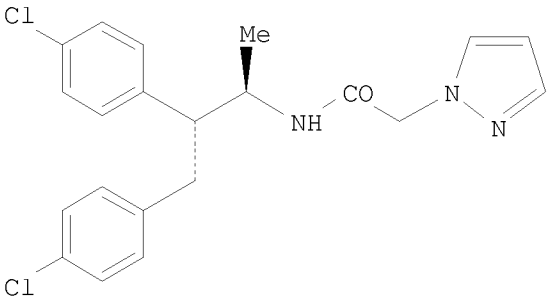
WO 2003077847	A2	20030925	WO 2003-US7320	20030307	<--
WO 2003077847	A3	20041104			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2478183	A1	20030925	CA 2003-2478183	20030307	<--
AU 2003218068	A1	20030929	AU 2003-218068	20030307	<--
AU 2003218068	B2	20070215			
EP 1496838	A2	20050119	EP 2003-714051	20030307	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005519958	T	20050707	JP 2003-575901	20030307	
JP 3813152	B2	20060823			
NZ 534757	A	20060728	NZ 2003-534757	20030307	
US 20040058820	A1	20040325	US 2003-387265	20030312	<--
US 6972295	B2	20051206			
US 20050234061	A1	20051020	US 2005-109076	20050419	
JP 2006257090	A	20060928	JP 2006-105912	20060407	
AU 2007201276	A1	20070419	AU 2007-201276	20070323	
US 20080171692	A1	20080717	US 2008-12463	20080201	
PRIORITY APPLN. INFO.:			US 2002-363597P	P 20020312	
			US 2002-428351P	P 20021122	
			AU 2003-218068	A3 20030307	
			JP 2003-575901	A3 20030307	
			WO 2003-US7320	W 20030307	
			US 2003-387265	A3 20030312	
			US 2005-109076	A3 20050419	

OTHER SOURCE(S): MARPAT 139:276471

GI



I



II

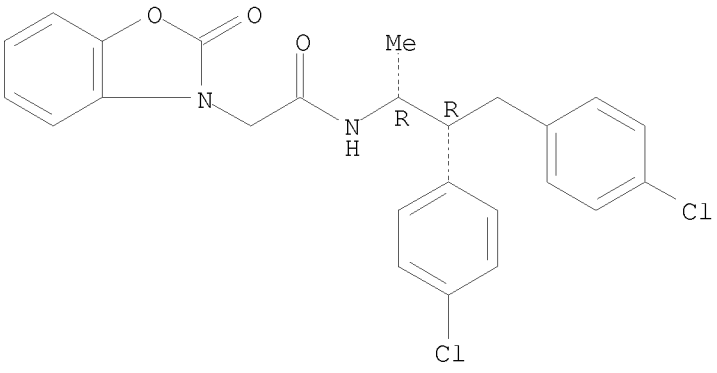
AB Novel compds. of the structural formula I (e.g. N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-(pyrazol-1-yl)acetamide trifluoroacetate (base shown as II with relative stereochem.); variables defined below) are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of preparation are not claimed, more than 120 example prepns. of intermediates and >480 example prepns./characterization data for a library of I are included. For I: R1 = C1-10-alkyl, C3-10cycloalkyl, C3-10-cycloalkyl-C1-4-alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4-alkyl, heteroaryl, heteroaryl-C1-4-alkyl, -ORd, -NRcRd, -NRcC(O)Rd, -CO2Rd, and -C(O)NRcRd. R2 = C1-10alkyl, C3-10cycloalkyl-C1-4alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4alkyl, aryloxy, arylthio, heteroaryl, and heteroaryl-C1-4alkyl; R3 = H, and C1-4alkyl; R4 = H, and C1-4alkyl; R5 = C1-10alkyl, C2-10alkenyl, C3-10-cycloalkyl-C1-4alkyl, cycloheteroalkyl-C1-4-alkyl, aryl-C1-4-alkyl, diaryl-C1-4alkyl, aryl-C1-4alkenyl, heteroaryl-C1-4alkyl, -ORd, and -NRcRd; addnl. details including provisos are given in the claims.

IT **605676-05-9P**, N-[(1R*,2R*)-2,3-Bis(4-chlorophenyl)-1-methylpropyl]-2-(benzoxazolin-2-on-3-yl)acetamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted amides as antagonists and/or inverse agonists of cannabinoid-1 receptor for therapy)

RN 605676-05-9 CAPLUS

CN 3(2H)-Benzoxazoleacetamide, N-[(1R,2R)-2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-oxo-, rel- (CA INDEX NAME)

Relative stereochemistry.

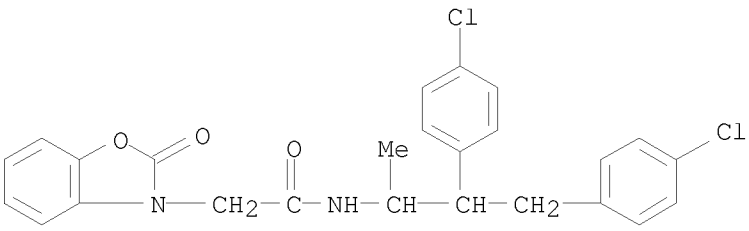


IT **605681-99-0P**, N-[2,3-Bis(4-chlorophenyl)-1-methylpropyl]-2-(benzoxazolin-2-on-3-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of substituted amides as antagonists and/or inverse agonists of cannabinoid-1 receptor for therapy)

RN 605681-99-0 CAPLUS

CN 3(2H)-Benzoxazoleacetamide, N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-oxo- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:511082 CAPLUS

DOCUMENT NUMBER: 139:85343

TITLE: Preparation of 2-(heterocyclylmethyl) **benzimidazoles** as respiratory syncytial virus antiviral agents

INVENTOR(S): Yu, Kuo-long; Wang, Xiangdong; Sun, Yaxiong; Cianci, Christopher; Thuring, Jan Willem; Combrink, Keith; Meanwell, Nicholas; Zhang, Yi; Civiello, Rita L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

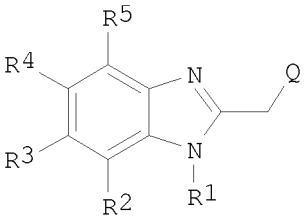
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053344	A2	20030703	WO 2002-US39220	20021206 <--
WO 2003053344	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030207868	A1	20031106	US 2002-309505	20021204 <--
US 6919331	B2	20050719		
AU 2002362094	A1	20030709	AU 2002-362094	20021206 <--
EP 1461035	A2	20040929	EP 2002-797226	20021206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

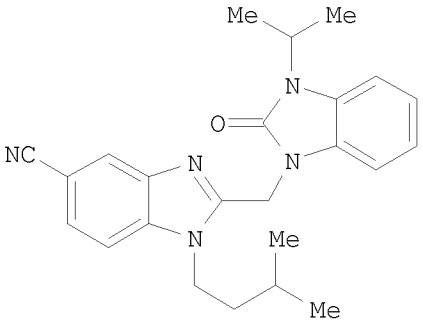
PRIORITY APPLN. INFO.: US 2001-339025P P 20011210
WO 2002-US39220 W 20021206

OTHER SOURCE(S): MARPAT 139:85343

GI



I



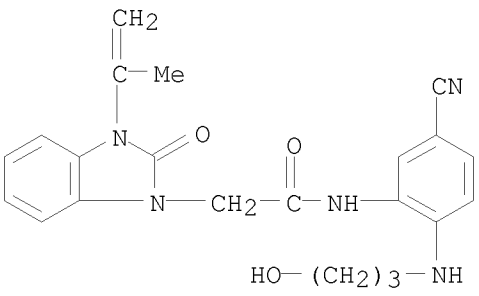
II

AB Title compds. I [wherein R1 = (CRaRb)nX; R2 = H; R3 = CONRhRi, CO2Rd, or (un)substituted alkyl; R4 = NH2, CONRhRi, heteroaryl, alkenyl, CO2Rd, N=CPh2, C(NOH)NH2, C(NH)NH2, or (un)substituted alkyl; R5 = CO2Rj or (un)substituted alkyl or alkenyl; Q = (un)substituted benzimidazolyl, benzotriazolyl, imidazopyridinyl, quinolinyl, quinazolinyl, benzyloxy, etc.; X = H or (un)substituted alkyl; Ra and Rb = independently H or (halo)alkyl; Rd = alkyl; Rh and Ri = independently H or alkyl; Rj = H or alkyl; n = 1-6; and pharmaceutically acceptable salts thereof] were prepared as antiviral compds. More particularly, the invention provides 2-(heterocyclylmethyl)**benzimidazole** derivs. for the treatment of respiratory syncytial virus (RSV) infection. For example, 1-isopropyl-1,3-dihydrobenzimidazol-2-one was coupled with 2-chloromethyl-1-(3-methylbutyl)-1H-**benzimidazole**-5-carbonitrile in the presence of Cs2CO3 in DMF to give II (95%). Disclosed compds. protected HEp-2 cells from RSV-induced cytopathic effects with EC50 values between 50 μM and 0.001 μM, compared to an EC50 of 3 μM for ribavirin. I also displayed antiviral activity by reducing viral protein expression in HEp-2 cells with EC50 values between 50 μM and 0.001 μM, compared to an EC50 value of 3 μM for ribavirin. Thus, I and compns. comprising I are useful for the treatment of RSV infections.

IT **554457-31-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral agent; preparation of (heterocyclylmethyl)**benzimidazoles** as RSV antiviral agents)

RN 554457-31-7 CAPLUS

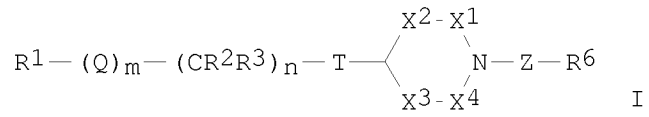
CN 1H-Benzimidazole-1-acetamide, N-[5-cyano-2-[(3-hydroxypropyl)amino]phenyl]-2,3-dihydro-3-(1-methylethenyl)-2-oxo- (CA INDEX NAME)



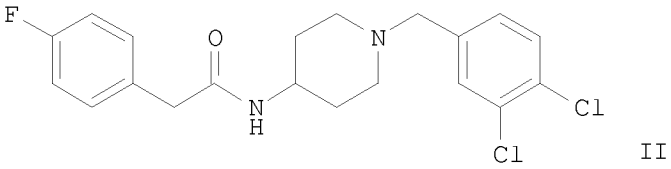
L13 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:44146 CAPLUS
DOCUMENT NUMBER: 138:73178
TITLE: Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation
INVENTOR(S): Bahl, Ash; Perry, Matthew; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: Brit. UK Pat. Appl., 91 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2373186	A	20020918	GB 2001-4534	20010223 <--
PRIORITY APPLN. INFO.:			GB 2001-4534	20010223
OTHER SOURCE(S):	MARPAT 138:73178			

GI



I

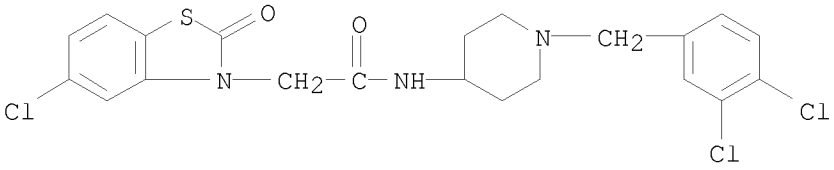


II

AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine•2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

IT **328082-42-4**, 2-(5-Chloro-2-oxo-1,3-benzothiazol-3(2H)-yl)-N-[1-

(3,4-dichlorobenzyl)-4-piperidinyl]acetamide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CCR3 antagonist; preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)
RN 328082-42-4 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-oxo- (CA INDEX NAME)

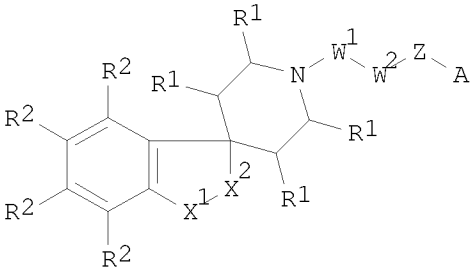


L13 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:5947 CAPLUS
DOCUMENT NUMBER: 138:73181
TITLE: Preparation of spiropiperidine compounds as ligands for the ORL-1 receptor
INVENTOR(S): Ito, Fumitaka; Koike, Hiroki; Sudo, Masaki; Yamagishi, Tatsuya; Ando, Koji
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

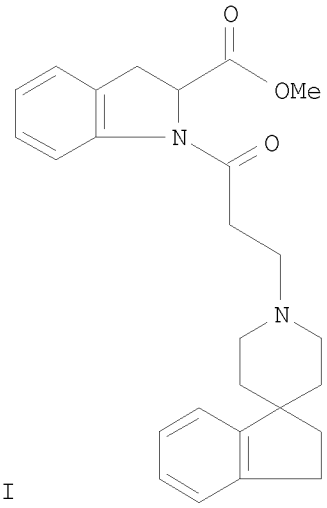
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003000677	A1	20030103	WO 2002-IB2272		20020617 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20030078278	A1	20030424	US 2002-92040		20020306 <--
US 20030078279	A1	20030424	US 2002-153310		20020522 <--
CA 2450550	A1	20030103	CA 2002-2450550		20020617 <--
AU 2002302926	A1	20030108	AU 2002-302926		20020617 <--
EP 1399432	A1	20040324	EP 2002-730637		20020617 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002011305	A	20040713	BR 2002-11305		20020617 <--
JP 2005521630	T	20050721	JP 2003-507081		20020617
MX 2003011956	A	20040326	MX 2003-11956		20031218 <--
US 20050038060	A1	20050217	US 2004-481210		20040816
PRIORITY APPLN. INFO.:			US 2001-301079P	P	20010626
			WO 2002-IB2272	W	20020617

OTHER SOURCE(S): MARPAT 138:73181

GI



I



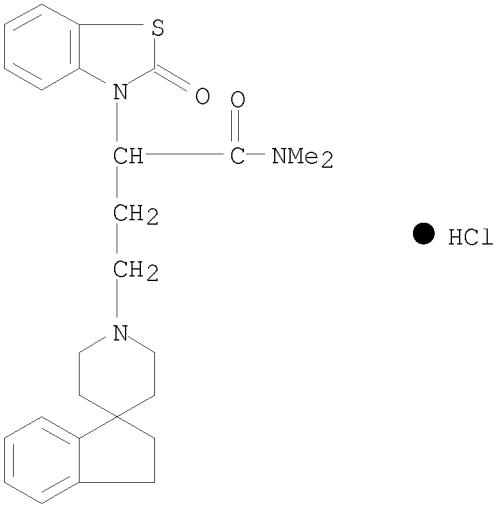
II

AB Title compds. I [R1 = H, alkyl; R2 = H, halo, OH, alkyl, etc.; X1-2 = alkyl, O, NH, etc.; W1-2 = divalent alkyl, etc.; Z = CO, alkyl; A = benzofuzed azahetero ring] are prepared For instance, 2,3-dihydro[1H-indene-1,4'-piperidine]•HCl was alkylated with Et 3-bromopropionate; the product was saponified, converted to the acid chloride and reacted with Me indoline-2-carboxylate to afford II. I are ligands for the ORL1-receptor and are useful for treating or preventing pain, a CNS disorder.

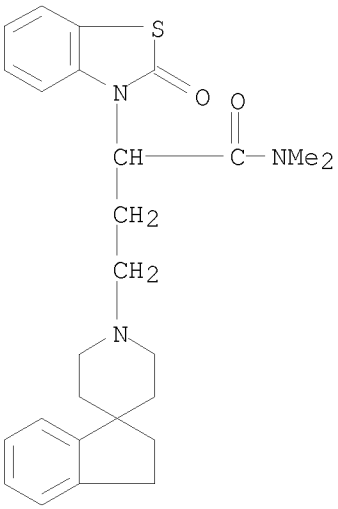
IT **481000-01-5P**, 2,3-Dihydro-1'-[3-(2-oxobenzothiazol-3-yl)-3-(dimethylaminocarbonyl)propyl]spiro[1H-indene-1,4'-piperidine] hydrochloride **481000-02-6P**, 2,3-Dihydro-1'-[3-(2-oxobenzothiazol-3-yl)-3-(dimethylaminocarbonyl)propyl]spiro[1H-indene-1,4'-piperidine] **481000-03-7P**, 2,3-Dihydro-1'-[3-(2-oxobenzothiazol-3-yl)-3-(((2-dimethylaminoethyl)amino)carbonyl)propyl]spiro[1H-indene-1,4'-piperidine] hydrochloride **481000-04-8P**, 2,3-Dihydro-1'-[3-(2-oxobenzothiazol-3-yl)-3-(((2-dimethylaminoethyl)amino)carbonyl)propyl]spiro[1H-indene-1,4'-piperidine] RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiropiperidine compds. as ligands for ORL-1 receptor)

RN 481000-01-5 CAPLUS

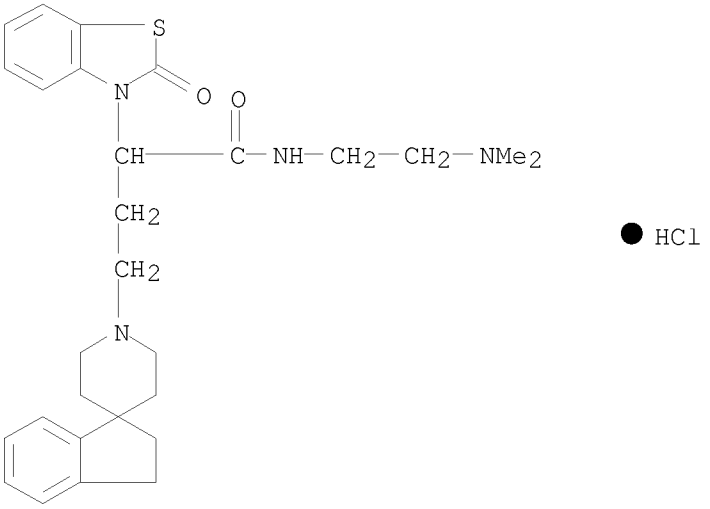
CN Spiro[1H-indene-1,4'-piperidine]-1'-butanamide, 2,3-dihydro-N,N-dimethyl-α-(2-oxo-3(2H)-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



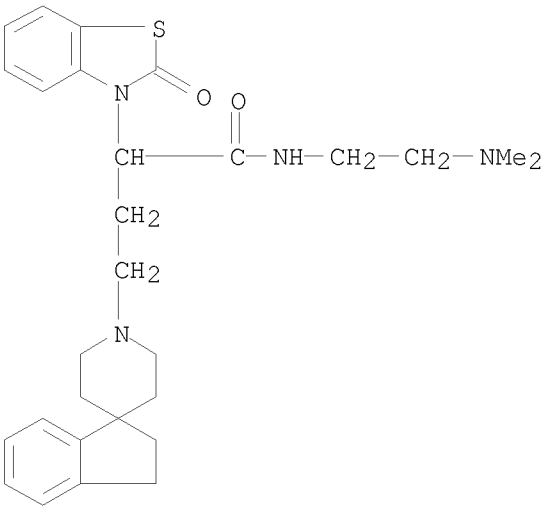
RN 481000-02-6 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-1'-butanamide, 2,3-dihydro-N,N-dimethyl-α-(2-oxo-3(2H)-benzothiazolyl)- (CA INDEX NAME)



RN 481000-03-7 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-1'-butanamide, N-[2-(dimethylamino)ethyl]-2,3-dihydro-α-(2-oxo-3(2H)-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 481000-04-8 CAPLUS
CN Spiro[1H-indene-1,4'-piperidine]-1'-butanamide, N-[2-(dimethylamino)ethyl]-2,3-dihydro-α-(2-oxo-3(2H)-benzothiazolyl)- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

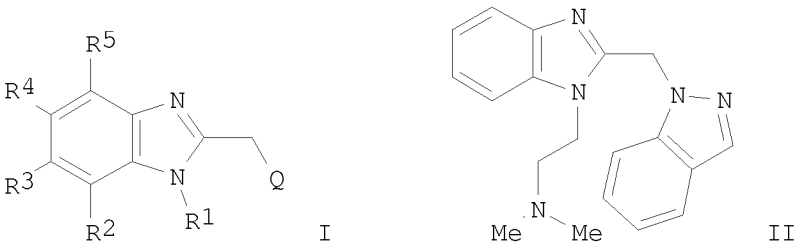
L13 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:556140 CAPLUS
DOCUMENT NUMBER: 137:125159
TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020099208	A1	20020725	US 2001-994012	20011116 <--
US 6774134	B2	20040810		
WO 2002062290	A2	20020815	WO 2001-US45149	20011120 <--
WO 2002062290	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002253794	A1	20020819	AU 2002-253794	20011120 <--
EP 1343499	A2	20030917	EP 2001-270116	20011120 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520387	T	20040708	JP 2002-562298	20011120 <--
US 20040067997	A1	20040408	US 2003-643411	20030819 <--
US 6844342	B2	20050118		

PRIORITY APPLN. INFO.:	US 2000-257139P	P	20001220
	US 2001-994012	A3	20011116
	WO 2001-US45149	W	20011120

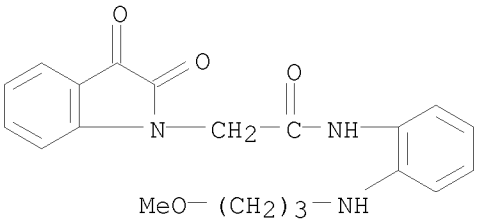
OTHER SOURCE(S): MARPAT 137:125159
GI



AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared E.g., a four-step synthesis of II, starting with 2-(chloromethyl)**benzimidazole**, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was determined in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443986-26-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of heterocyclic substituted 2-methyl-

benzimidazole antiviral agents)
RN 443986-26-3 CAPLUS
CN 1H-Indole-1-acetamide, 2,3-dihydro-N-[2-[(3-methoxypropyl)amino]phenyl]-2,3-dioxo- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:487577 CAPLUS
DOCUMENT NUMBER: 137:63420
TITLE: Preparation of lactone ketolide macrolide erythromycin antibiotics
INVENTOR(S): Andreotti, Daniele; Arista, Luca; Biondi, Stefano; Cardullo, Francesca; Damiani, Frederica; Lociuro, Sergio; Marchioro, Carla; Merlo, Giancarlo; Mingardi, Anna; Niccolai, Daniela; Paio, Alfredo; Piga, Elisabetta; Pozzan, Alfonso; Seri, Catia; Tarsi, Luca; Terreni, Silvia; Tibasco, Jessica
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050091	A1	20020627	WO 2001-GB5665	20011220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432429	A1	20020627	CA 2001-2432429	20011220 <--
AU 2002017277	A	20020701	AU 2002-17277	20011220 <--
EP 1363925	A1	20031126	EP 2001-271380	20011220 <--
EP 1363925	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002526	A2	20031128	HU 2003-2526	20011220 <--
CN 1492874	A	20040428	CN 2001-822651	20011220 <--
BR 2001016431	A	20040622	BR 2001-16431	20011220 <--
JP 2004531471	T	20041014	JP 2002-551984	20011220 <--
NZ 526450	A	20050429	NZ 2001-526450	20011220

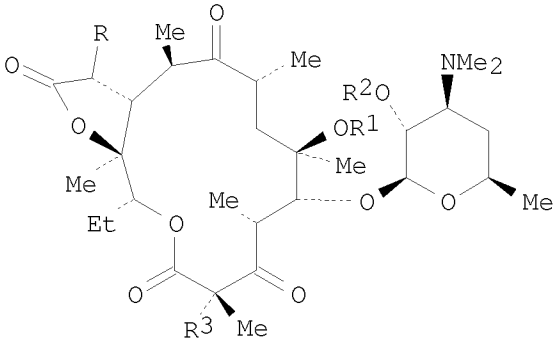
AU 2002217277	B2	20050616	AU 2002-217277	20011220	
AT 345350	T	20061215	AT 2001-271380	20011220	
ES 2275621	T3	20070616	ES 2001-271380	20011220	
IN 2003DN00933	A	20070420	IN 2003-DN933	20030616	
ZA 2003004748	A	20040423	ZA 2003-4748	20030619	<--
NO 2003002846	A	20030820	NO 2003-2846	20030620	<--
MX 2003005668	A	20041203	MX 2003-5668	20030620	<--
US 20040077557	A1	20040422	US 2003-450893	20031119	<--
US 20050215495	A1	20050929	US 2005-127701	20050512	
US 20060211636	A1	20060921	US 2006-422122	20060605	

PRIORITY APPLN. INFO.:

GB 2000-31309	A	20001221
GB 2001-26276	A	20011101
GB 2001-26277	A	20011101
WO 2001-GB5665	W	20011220
US 2003-450893	B1	20031119
US 2005-127701	A1	20050512

OTHER SOURCE(S): MARPAT 137:63420

GI



I

AB The present invention relates to lactone ketolides I wherein R is H, CN, substituted alkyl; R1 is alkyl, alkenyl; R2 is H, hydroxy protecting group; R3 is H, halogen, and pharmaceutically acceptable salts and solvates thereof, to process for their preparation and their use in therapy or prophylaxis of systemic or topical bacterial infections in a human or animal body. Thus, (11S,21R)-3-decladinosyl-11,12-dideoxy-6-O-methyl-3-oxo-12,11-[oxycarbonyl-(cyano)-methylene]erythromycin A was prepared and tested as antibacterial agent against Streptococcus pneumoniae and Streptococcus pyogenes (MIC ≤ 1 μg/mL).

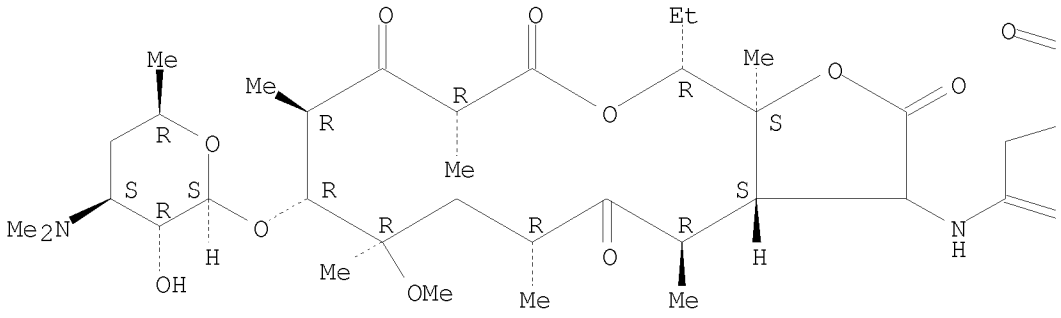
IT **439103-08-9P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactone ketolide macrolide erythromycin antibiotics and their use in therapy or prophylaxis of systemic or topical bacterial infections)

RN 439103-08-9 CAPLUS

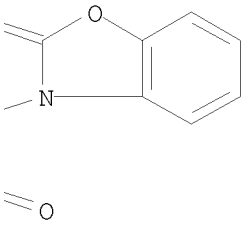
CN 3(2H)-Benzoxazoleacetamide, N-[(3aS,4R,6R,8R,9R,10R,12R,15R,15aS)-15-ethyltetradecahydro-8-methoxy-4,6,8,10,12,15a-hexamethyl-2,5,11,13-tetraoxo-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-furo[2,3-c]oxacyclotetradecin-3-yl]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



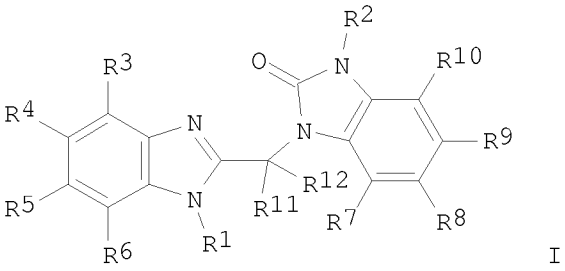
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:256041 CAPLUS
DOCUMENT NUMBER: 136:294826
TITLE: Preparation of benzimidazolone antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita; Combrink, Keith; Gulgeze, Hatice Belgin; Pearce, Bradley C.; Wang, Xiangdong; Meanwell, Nicholas A.; Zhang, Yi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026228	A1	20020404	WO 2001-US29493	20010927 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6506738	B1	20030114	US 2001-952736	20010914 <--
AU 2001094611	A	20020408	AU 2001-94611	20010927 <--

PRIORITY APPLN. INFO.:
US 2000-235804P P 20000927
WO 2001-US29493 W 20010927

OTHER SOURCE(S): MARPAT 136:294826
GI

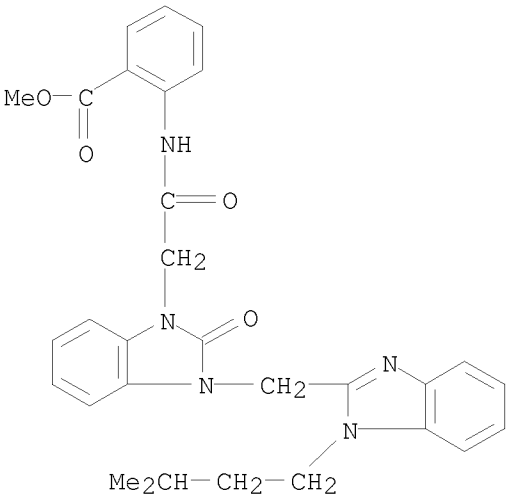


I

AB The title compds. [I; R1 = (CRvRw)nX; Rv, Rw = H, (halo)alkyl, (halo)alkenyl; X = H, (un)substituted alkyl, alkenyl; n = 1-6; R2 = H, alkyl, Ph, etc.; R3, R6, R7, R10 = H; R5, R8, R9 = H, halo, CF3; R4 = H, halo, CN, etc.; R11, R12 = H], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared E.g., a 4-step synthesis of I [R1 = CH2CH2CHMe2; R2 = C(:CH2)Me; R3-R12 = H], starting with 2-(chloromethyl) **benzimidazole**, was given. The title compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM.

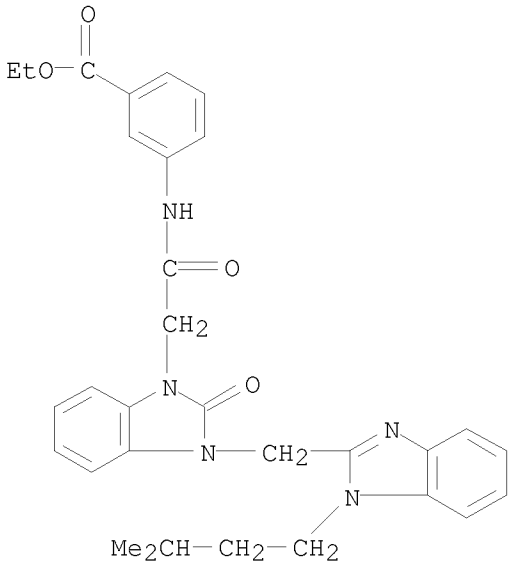
IT **406941-03-5P 406941-04-6P 406941-05-7P**
406941-06-8P 406941-07-9P 406941-08-0P
406941-09-1P 406941-10-4P 406941-11-5P
406941-12-6P 406941-13-7P 406941-14-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolone antiviral agents)

RN 406941-03-5 CAPLUS
CN Benzoic acid, 2-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]-, methyl ester (CA INDEX NAME)

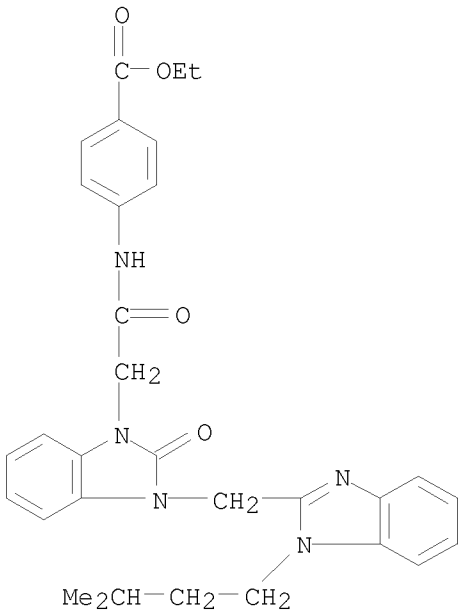


RN 406941-04-6 CAPLUS
CN Benzoic acid, 3-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-

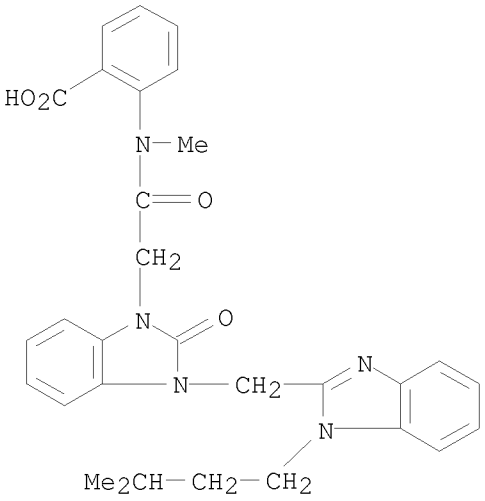
yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]-, ethyl ester (CA INDEX NAME)



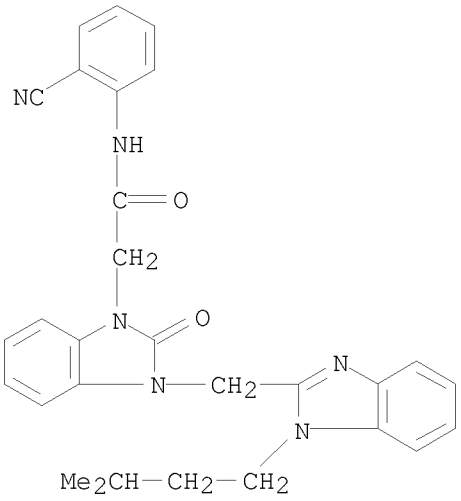
RN 406941-05-7 CAPLUS
CN Benzoic acid, 4-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]-, ethyl ester (CA INDEX NAME)



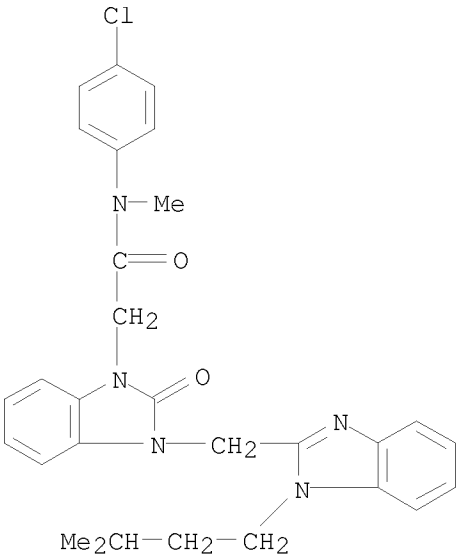
RN 406941-06-8 CAPLUS
CN Benzoic acid, 2-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]methylamino]- (CA INDEX NAME)



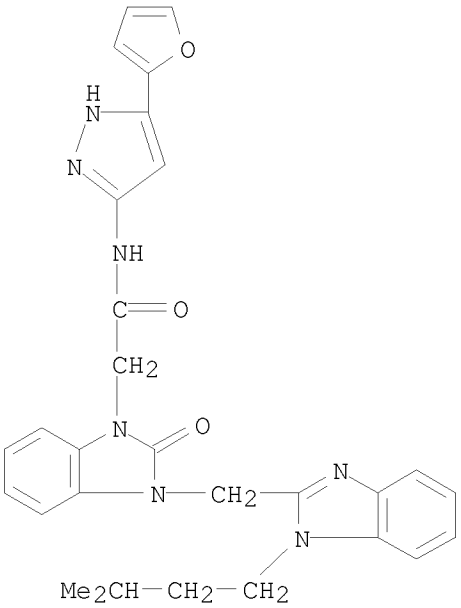
RN 406941-07-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-cyanophenyl)-2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo- (CA INDEX NAME)



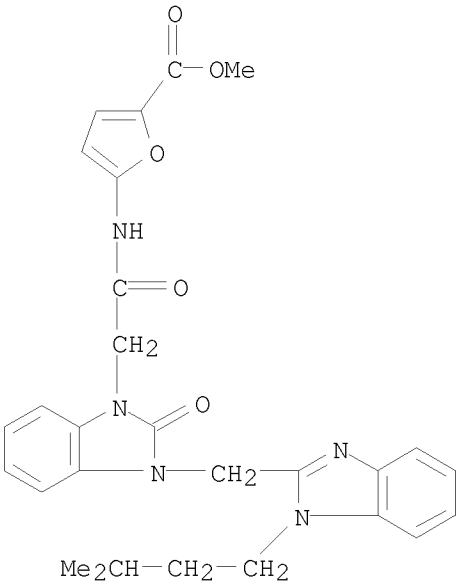
RN 406941-08-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(4-chlorophenyl)-2,3-dihydro-N-methyl-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo- (CA INDEX NAME)



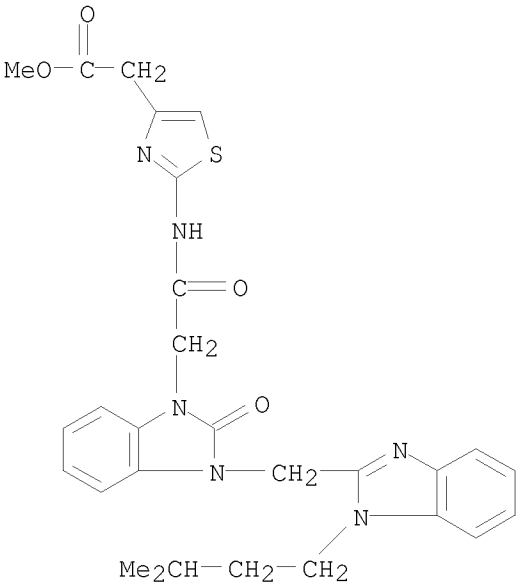
RN 406941-09-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo- (CA INDEX NAME)



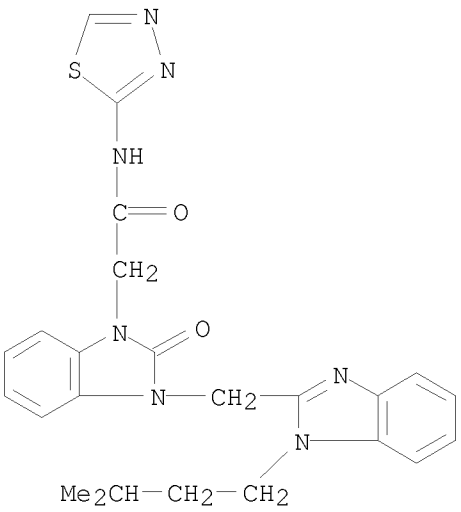
RN 406941-10-4 CAPLUS
CN 2-Furancarboxylic acid, 5-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]-, methyl ester (CA INDEX NAME)



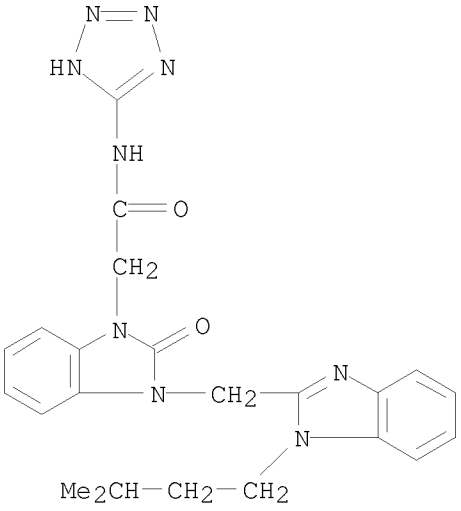
RN 406941-11-5 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[2-[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]-, methyl ester (CA INDEX NAME)



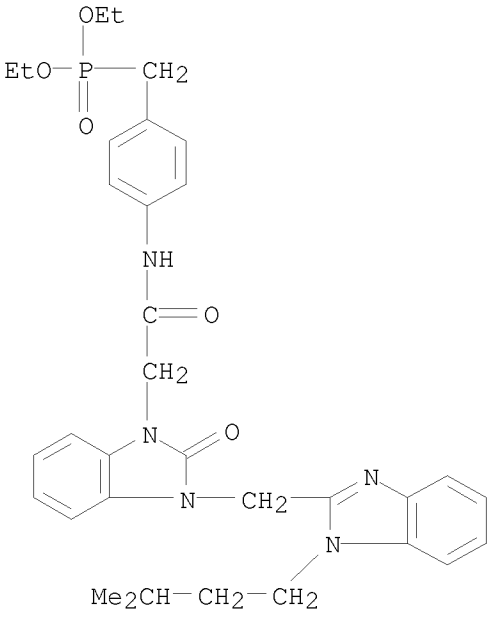
RN 406941-12-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-N-1,3,4-thiadiazol-2-yl- (CA INDEX NAME)



RN 406941-13-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)

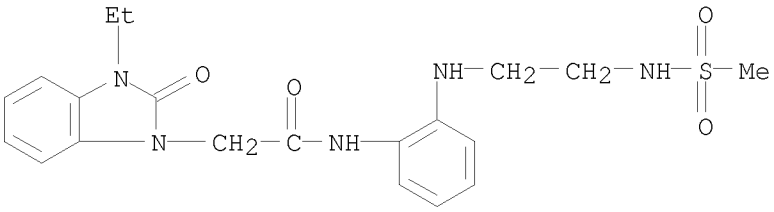


RN 406941-14-8 CAPLUS
CN Phosphonic acid, [[4-[[[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]acetyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

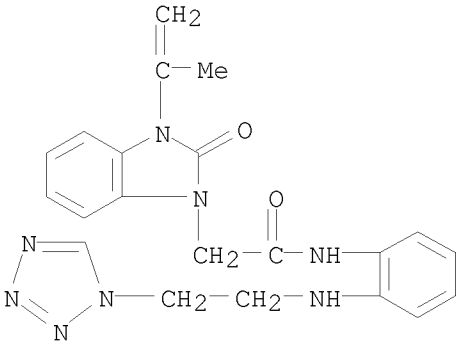


IT **406944-98-7P 406945-06-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazolone antiviral agents)

RN 406944-98-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 3-ethyl-2,3-dihydro-N-[2-[[2-[(methylsulfonyl)amino]ethyl]amino]phenyl]-2-oxo- (CA INDEX NAME)



RN 406945-06-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-3-(1-methylethenyl)-2-oxo-N-[2-[[2-(1H-tetrazol-1-yl)ethyl]amino]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:142708 CAPLUS
DOCUMENT NUMBER: 136:200182
TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Tays, Kevin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014315	A2	20020221	WO 2001-US25290	20010810 <--
WO 2002014315	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419552	A1	20020221	CA 2001-2419552	20010810 <--
AU 2001086454	A	20020225	AU 2001-86454	20010810 <--
US 20030078419	A1	20030424	US 2001-927324	20010810 <--
US 6953793	B2	20051011		
EP 1309593	A2	20030514	EP 2001-965898	20010810 <--
EP 1309593	B1	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013286	A	20030909	BR 2001-13286	20010810 <--
CN 1468237	A	20040114	CN 2001-817066	20010810 <--
CN 1294130	C	20070110		
HU 2003003108	A2	20040128	HU 2003-3108	20010810 <--
JP 2004511440	T	20040415	JP 2002-519455	20010810 <--
NZ 524191	A	20041126	NZ 2001-524191	20010810 <--
AT 320427	T	20060415	AT 2001-965898	20010810
PT 1309593	T	20060831	PT 2001-965898	20010810
AU 2001286454	B2	20060914	AU 2001-286454	20010810
ES 2261463	T3	20061116	ES 2001-965898	20010810
CN 1982308	A	20070620	CN 2006-10146464	20010810
RU 2317988	C2	20080227	RU 2003-107016	20010810
MX 2003001422	A	20040126	MX 2003-1422	20030214 <--
IN 2003KN00190	A	20050311	IN 2003-KN190	20030214
KR 837193	B1	20080613	KR 2003-702163	20030214
ZA 2003002051	A	20040625	ZA 2003-2051	20030313 <--
ZA 2003002056	A	20040702	ZA 2003-2056	20030313 <--

HK 1055422	A1	20060908	HK 2003-104996		20030710
US 20050234102	A1	20051020	US 2005-147923		20050608
US 7265102	B2	20070904			
PRIORITY APPLN. INFO.:			US 2000-225178P	P	20000814
			US 2001-927324	A	20010810
			CN 2001-817066	A3	20010810
			US 2001-927188	A3	20010810
			WO 2001-US25290	W	20010810
			US 2003-401486	A1	20030328

OTHER SOURCE(S): MARPAT 136:200182
GI

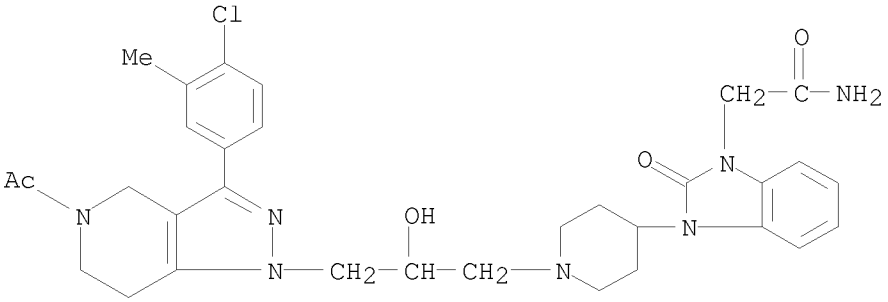
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; Ar1 = (un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted (un)saturated (non)aromatic mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); W = O, S, CO CONH, NHCO, (un)substituted NH or CH2; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepared and/or claimed, with detailed prepns. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepared in 6 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 μM. Compound III is one of two specifically preferred compds.

IT **400800-09-1P**, 2-[3-[1-[3-[5-Acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperidin-4-yl]-2-oxo-2,3-dihydrobenzimidazol-1-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

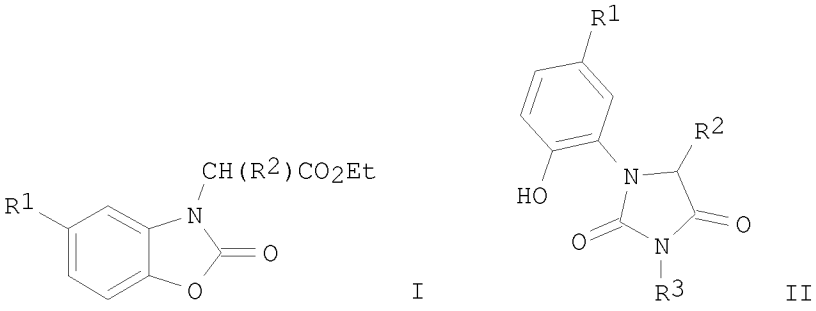
RN 400800-09-1 CAPLUS

CN 1H-Benzimidazole-1-acetamide, 3-[1-[3-[5-acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-4-piperidinyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:81478 CAPLUS
DOCUMENT NUMBER: 126:212089
ORIGINAL REFERENCE NO.: 126:41015a,41018a
TITLE: Synthesis of 1-(2-hydroxyphenyl)-2,4-imidazolidinedione derivatives through cyclic transformations of ethyl 2-oxo-3(2H)-benzoxazoleacetate derivatives
AUTHOR(S): Milcent, Rene; Akhnazarian, Anna; Lensen, Nathalie
CORPORATE SOURCE: Lab. Chim. Org., Univ. Paris 7, Paris, 75018, Fr.
SOURCE: Journal of Heterocyclic Chemistry (**1996**), 33(6), 1829-1833
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:212089
GI



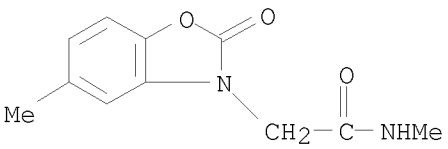
I II

AB The Et 2-oxo-3(2H)-benzoxazoleacetate derivs. I (R1 = H, Cl, Me; R2 = H, Et) were prepared By reaction with ammonia, primary amines or hydrazine, I were transformed into 1-(2-hydroxyphenyl)-2,4-imidazolidinedione derivs. (hydantoins) II (same R1, R2; R3 = Me, Et, NH2, etc.). Some of the new hydantoins II were treated with phosphorus oxychloride to give 2-oxoimidazo[2,1-b]benzoxazoles. Et 2-oxo-3(2H)-benzoxazolepropionate was prepared by a Michael reaction of Et acrylate with a 2-benzoxazolone. Cyclic transformation were not observed with Et 2-oxo-3(2H)-benzoxazolepropionate in the presence of ammonia or alkylamine.

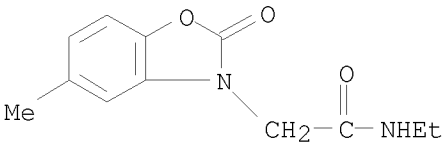
IT **187977-90-8P 187977-91-9P 187977-92-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hydroxyphenyl)imidazolidinediones from oxobenzoxazoleacetates and amines)

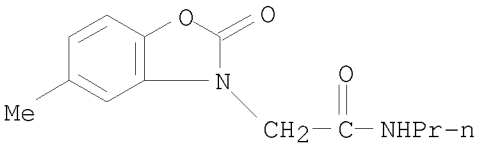
RN 187977-90-8 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N,5-dimethyl-2-oxo- (CA INDEX NAME)



RN 187977-91-9 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, N-ethyl-5-methyl-2-oxo- (CA INDEX NAME)

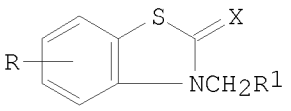


RN 187977-92-0 CAPLUS
CN 3(2H)-Benzoxazoleacetamide, 5-methyl-2-oxo-N-propyl- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:407276 CAPLUS
DOCUMENT NUMBER: 111:7276
ORIGINAL REFERENCE NO.: 111:1391a,1394a
TITLE: Synthesis of 2-oxo and 2-thioxo-3(2H)-benzothiazoleethanimic acid anhydride with acetic acid and related products
AUTHOR(S): D'Amico, John J.; Bollinger, Frederic G.; Freeman, John J.
CORPORATE SOURCE: Monsanto Agric. Co., St. Louis, MO, 63167, USA
SOURCE: Journal of Heterocyclic Chemistry (**1988**), 25(5), 1503-9
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:7276
GI

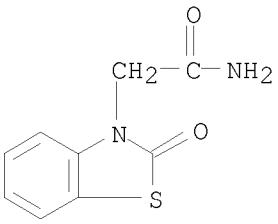


I

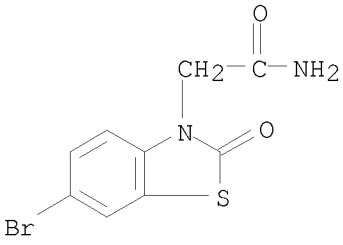
AB The reaction of the appropriate 2-benzothiazolinone with ClCH2CONH2 under basic conditions afforded the 2-oxo-3(2H)-benzothiazolineacetamides. The 2-thioxo-3(2H)-benzothiazolineacetamide was prepared by the reaction of 3-(carbethoxymethyl)**benzothiazoline**-2-thione (III) with NH4OH. The reaction of acetamides II and III with the appropriate anhydride containing a catalytic amount of the Na salt of the corresponding acid afforded the title compds. I [X = O, S, R1 = C(:NH)O2CR2, R2 = Me, Et, Pr] in excellent yields. The omission of the catalyst furnished a mixture containing 57% of the title compound, 37% of the nitrile, and 6% of an unknown product. A possible mechanism and supporting NMR, IR, and mass spectral data are discussed.

IT **881-11-8P 73521-40-1P 73521-41-2P 73521-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction of, with anhydrides, mixed anhydrides from)

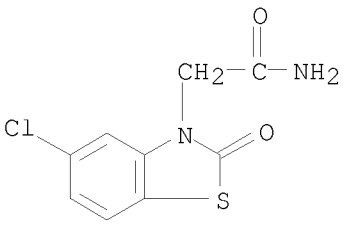
RN 881-11-8 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 2-oxo- (CA INDEX NAME)



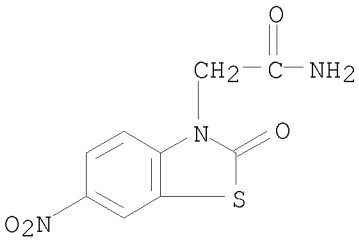
RN 73521-40-1 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 6-bromo-2-oxo- (CA INDEX NAME)



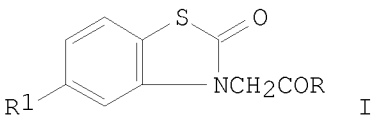
RN 73521-41-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-2-oxo- (CA INDEX NAME)



RN 73521-42-3 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 6-nitro-2-oxo- (CA INDEX NAME)



L13 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:135169 CAPLUS
DOCUMENT NUMBER: 110:135169
ORIGINAL REFERENCE NO.: 110:22318h,22319a
TITLE: Synthesis of 2-oxo-3-benzothiazolineacetyl chloride, 5-chloro-2-oxo-3-benzothiazolineacetyl chloride and derivatives
AUTHOR(S): D'Amico, John J.; Bollinger, Frederick G.
CORPORATE SOURCE: Monsanto Agric. Co., St. Louis, MO, 63167, USA
SOURCE: Journal of Heterocyclic Chemistry (**1988**), 25(4), 1183-90
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:135169
GI

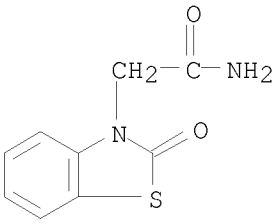


I

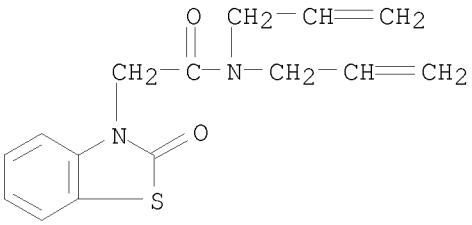
AB The reaction of 2-oxo-3-benzothiazolineacetic acid (I; R = OH, R1 = H) and its 5-chloro analog with SOCl2 afforded the title compds. I (R = Cl, R1 = H, Cl), resp. The reaction of I (R = Cl, R1 = H, Cl) with substituted hydrazines, amines or substituted anilines, alcs. and mercaptans furnished the hydrazides, acetamides and acetanilides, esters and thiol esters, resp. Alternate routes for the synthesis of hydrazide I (R = NHNH2, R1 = H), acetamides and acetanilides and thiol esters are described. The reaction of 2-oxo-3(2H)-benzothiazolineacetonitrile with MeCS2H under acidic conditions afforded 2-oxo-3-benzothiazolineethanethioamide.
IT **881-11-8P 14550-22-2P 66490-74-2P**

66490-75-3P 72365-16-3P 72365-17-4P
72365-18-5P 72379-80-7P 72680-34-3P
72680-38-7P 72680-46-7P 72680-47-8P
97420-38-7P 119584-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

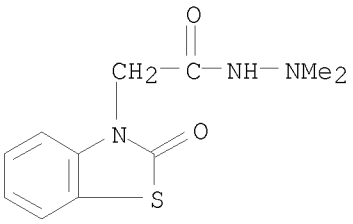
RN 881-11-8 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 2-oxo- (CA INDEX NAME)



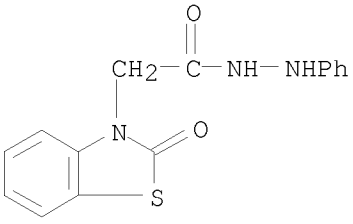
RN 14550-22-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 2-oxo-N,N-di-2-propen-1-yl- (CA INDEX NAME)



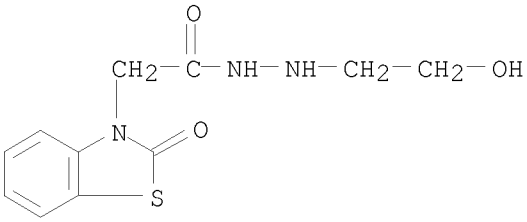
RN 66490-74-2 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2,2-dimethylhydrazide (CA INDEX NAME)



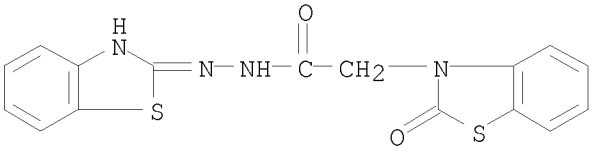
RN 66490-75-3 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2-phenylhydrazide (CA INDEX NAME)



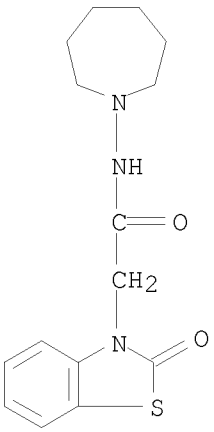
RN 72365-16-3 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2-(2-hydroxyethyl)hydrazide (CA INDEX NAME)



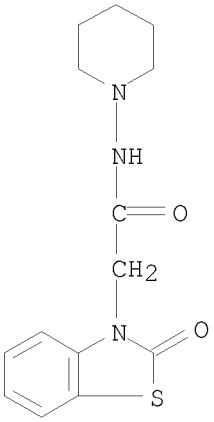
RN 72365-17-4 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2-(2-benzothiazolyl)hydrazide (CA INDEX NAME)



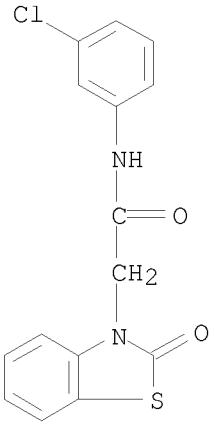
RN 72365-18-5 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(hexahydro-1H-azepin-1-yl)-2-oxo- (CA INDEX NAME)



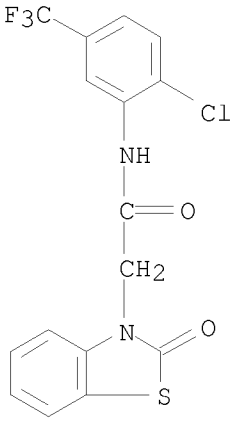
RN 72379-80-7 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 2-oxo-N-1-piperidinyl- (CA INDEX NAME)



RN 72680-34-3 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(3-chlorophenyl)-2-oxo- (CA INDEX NAME)

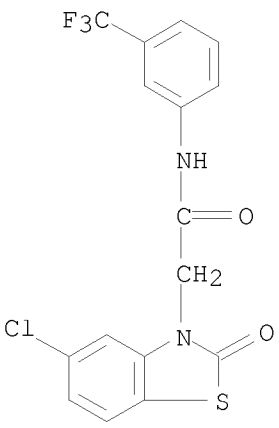


RN 72680-38-7 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-2-oxo-
(CA INDEX NAME)

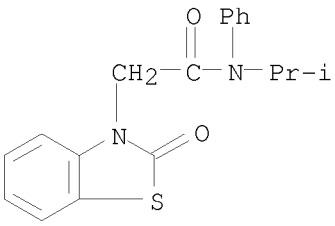


RN 72680-46-7 CAPLUS

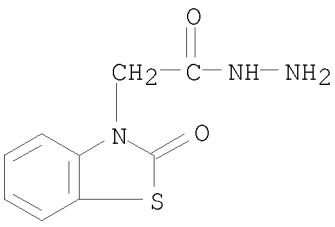
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-2-oxo-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



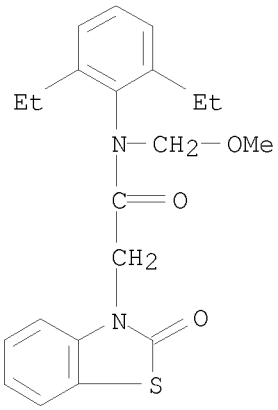
RN 72680-47-8 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(1-methylethyl)-2-oxo-N-phenyl- (CA INDEX NAME)



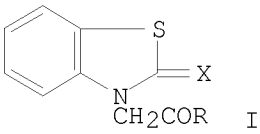
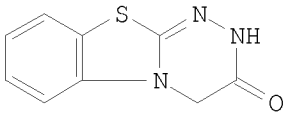
RN 97420-38-7 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, hydrazide (CA INDEX NAME)



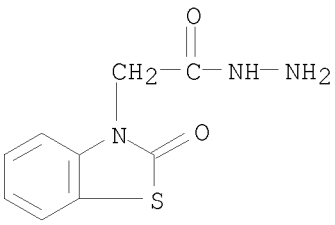
RN 119584-52-0 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(2,6-diethylphenyl)-N-(methoxymethyl)-2-oxo- (CA INDEX NAME)



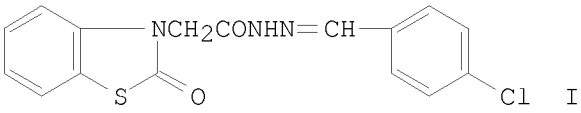
L13 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:570391 CAPLUS
DOCUMENT NUMBER: 109:170391
ORIGINAL REFERENCE NO.: 109:28263a,28266a
TITLE: A new route to 2H-(1,2,4)triazino[3,4-b]benzothiazole-3(4H)-one
AUTHOR(S): D'Amico, John J.; Bollinger, Frederic G.; Dahl, William E.
CORPORATE SOURCE: Res. Dep., Monsanto Agric. Prod. Co., St. Louis, MO, 63167, USA
SOURCE: Phosphorus and Sulfur and the Related Elements (**1988**), 35(1-2), 71-6
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:170391
GI


I

II

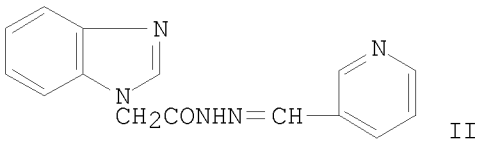
AB Condensation of 3-(carbethoxymethyl)**benzothiazoline**-2-thione (I, X = S, R = OEt) with N2H4 gave either 99% hydrazide I (X = S, R = NHNH2) or 63% title compound II, depending on the reaction conditions. Similar condensation of I (X = O, R = OEt) with N2H4 gave only hydrazide I (X = O, R = NHNH2).
IT **97420-38-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 97420-38-7 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, hydrazide (CA INDEX NAME)



L13 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:453994 CAPLUS
DOCUMENT NUMBER: 103:53994
ORIGINAL REFERENCE NO.: 103:8701a,8704a
TITLE: Synthesis of N-benzoxazolinone, N-benzothiazolinone and N-**benzimidazole** arylidene hydrazides
AUTHOR(S): Domagalina, Eugenia; Bien, Irena; Gaj, Barbara; Zawisza, Pawel
CORPORATE SOURCE: Inst. Anal. Technol. Farm., Akad. Med., Lublin, Pol.
SOURCE: Annales Universitatis Mariae Curie-Sklodowska, Sectio D: Medicina (**1984**), Volume Date 1982, 37, 177-82
CODEN: AUMKAS; ISSN: 0066-2240
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI



I

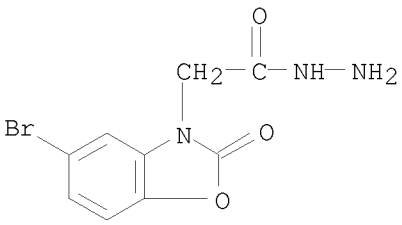


II

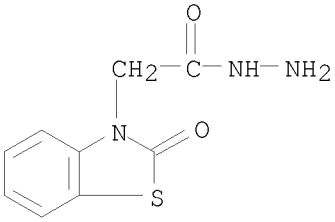
AB Twenty title hydrazides (e.g., I, and II) were prepared by treating the appropriate heterocycle with ClCH2CO2Et, followed by hydrazinolysis and treatment with an aromatic or hetaryl aldehyde. The compds. were prepared as potential bactericides and anthelmintics (no data).

IT **97420-37-6P 97420-38-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with aldehydes)

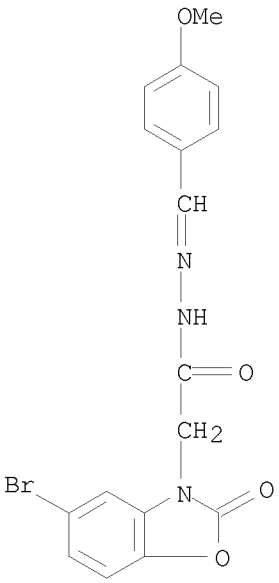
RN 97420-37-6 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-, hydrazide (CA INDEX NAME)



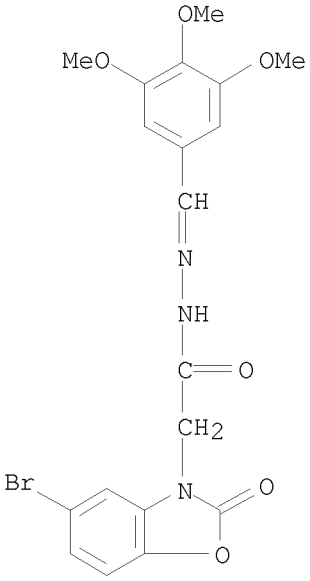
RN 97420-38-7 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, hydrazide (CA INDEX NAME)



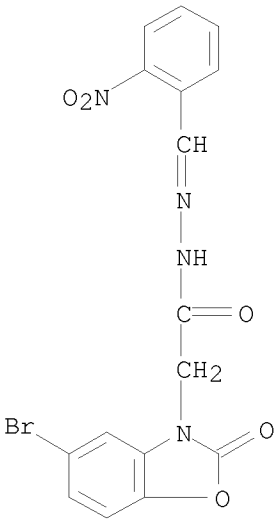
IT **97420-58-1P 97420-59-2P 97420-60-5P**
97420-61-6P 97420-62-7P 97420-63-8P
97420-64-9P 97420-65-0P 97420-66-1P
97443-08-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 97420-58-1 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-,
2-[(4-methoxyphenyl)methylene]hydrazide (CA INDEX NAME)



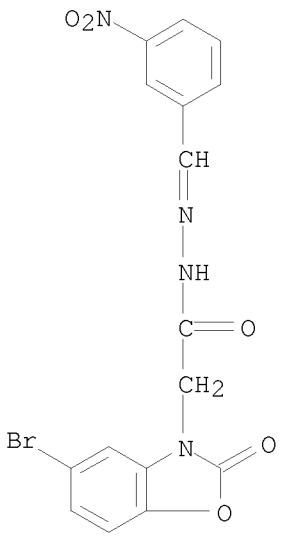
RN 97420-59-2 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-,
2-[(3,4,5-trimethoxyphenyl)methylene]hydrazide (CA INDEX NAME)



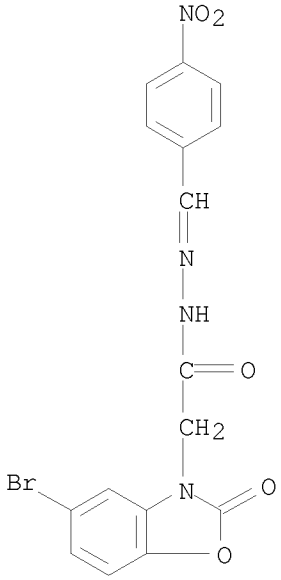
RN 97420-60-5 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-, 2-[(2-nitrophenyl)methylene]hydrazide (CA INDEX NAME)



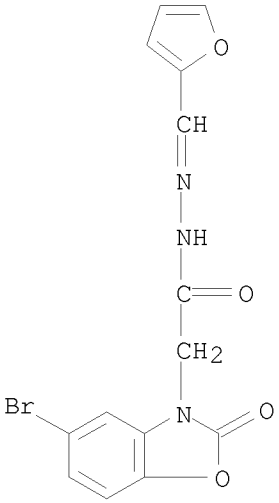
RN 97420-61-6 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-, 2-[(3-nitrophenyl)methylene]hydrazide (CA INDEX NAME)



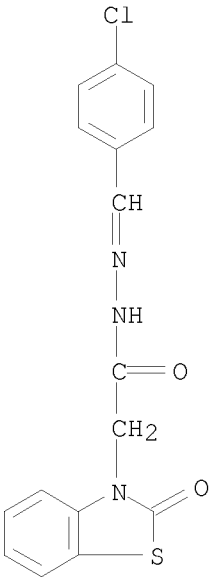
RN 97420-62-7 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-,
2-[(4-nitrophenyl)methylene]hydrazide (CA INDEX NAME)



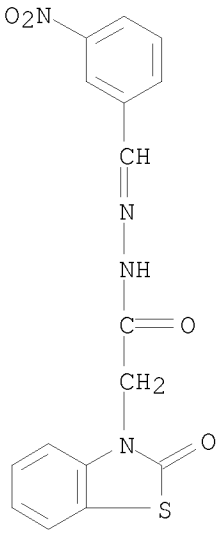
RN 97420-63-8 CAPLUS
CN 3(2H)-Benzoxazoleacetic acid, 5-bromo-2-oxo-,
2-(2-furanylmethylene)hydrazide (CA INDEX NAME)



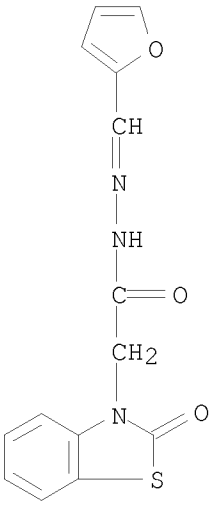
RN 97420-64-9 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-,
2-[(4-chlorophenyl)methylene]hydrazide (CA INDEX NAME)



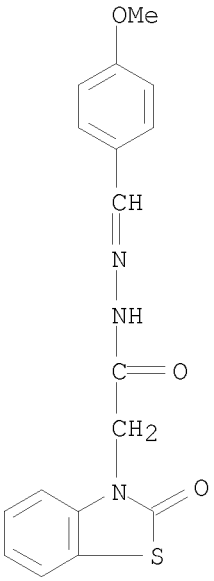
RN 97420-65-0 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-,
2-[(3-nitrophenyl)methylene]hydrazide (CA INDEX NAME)



RN 97420-66-1 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2-(2-furanylmethylene)hydrazide (CA INDEX NAME)



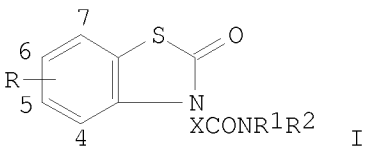
RN 97443-08-8 CAPLUS
CN 3(2H)-Benzothiazoleacetic acid, 2-oxo-, 2-[(4-methoxyphenyl)methylene]hydrazide (CA INDEX NAME)



L13 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:16674 CAPLUS
DOCUMENT NUMBER: 98:16674
ORIGINAL REFERENCE NO.: 98:2703a,2706a
TITLE: **Benzothiazolines**
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57070880	A	19820501	JP 1980-145177	19801016 <--

PRIORITY APPLN. INFO.: JP 1980-145177 19801016
OTHER SOURCE(S): CASREACT 98:16674
GI

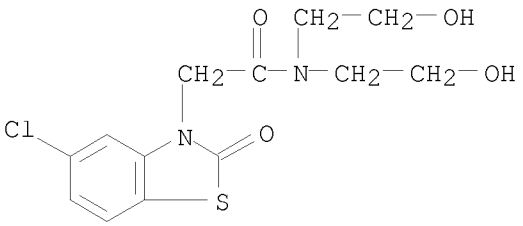


I

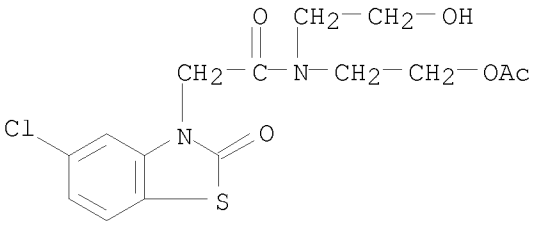
AB Benzothiazolinones I (R = H, Cl; R1, R2 = ethoxyalkyl, hydroxyalkyl, haloalkyl; X = alkylene), useful as analgesics, antiinflammatory agents, and gastric juice secretion regulators (no data), were prepared by, e.g., acylation of I (R1, R2 = hydroxyalkyl). Thus, stirring a mixture of 2.9 g Ac2O, 2.3 g I (R = 5-Cl, R1 = R2 = HOCH2CH2, X = CH2), and 10 mL pyridine at 3-4° for 1 h 15 min gave 2.8 g I (R = 5-Cl, R1 = R2 = AcOCH2CH2, X = CH2).

IT **76854-21-2**

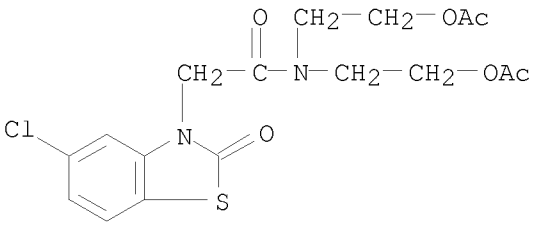
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)
RN 76854-21-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N,N-bis(2-hydroxyethyl)-2-oxo- (CA INDEX NAME)



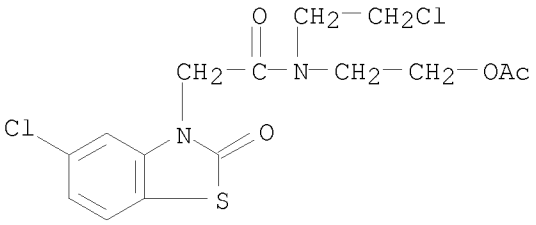
IT **83259-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
RN 83259-40-9 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[2-(acetyloxy)ethyl]-5-chloro-N-(2-hydroxyethyl)-2-oxo- (CA INDEX NAME)



IT **83259-39-6P 83259-41-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 83259-39-6 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N,N-bis[2-(acetyloxy)ethyl]-5-chloro-2-oxo- (CA INDEX NAME)



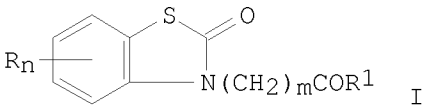
RN 83259-41-0 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[2-(acetyloxy)ethyl]-5-chloro-N-(2-chloroethyl)-2-oxo- (CA INDEX NAME)



L13 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:52292 CAPLUS
DOCUMENT NUMBER: 96:52292
ORIGINAL REFERENCE NO.: 96:8617a,8620a
TITLE: N-Amides of 2-benzothiazolinone
INVENTOR(S): D'Amico, John J.
PATENT ASSIGNEE(S): Monsanto Co. , USA
SOURCE: Can., 20 pp. Division of Can. Appl. No. 315,232.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1107530	A2	19810825	CA 1980-353567	19800604 <--
CA 1097634	A1	19810317	CA 1978-315232	19781031 <--
US 4398940	A	19830816	US 1981-311359	19811015 <--
DK 8203927	A	19820902	DK 1982-3927	19820902 <--
DK 8400492	A	19840203	DK 1984-492	19840203 <--
PRIORITY APPLN. INFO.:			US 1977-861476 A	19771216
			CA 1978-315232 A3	19781031
			DK 1978-5654 A	19781215
			US 1979-51483 A3	19790625

GI



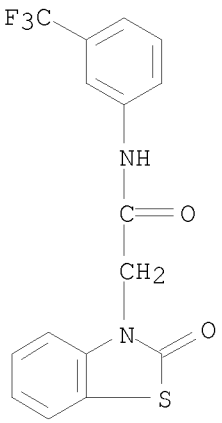
I

AB **Benzothiazoline**-3-alkanamides I (n = 0, 1; R = CF3, halo; m = 1, 2, 3, 4; R1 = N- and ring-(un)substituted anilino) were prepared by different methods and they showed their effectiveness in plant growth regulation. 5-Chloro-2-oxo-3-benzothiazolineacetyl chloride was treated with 3-F3CC6H4NH2 to give I (n = 1, R = 5-Cl, m = 1, R1 = 3-F3CC6H4NH).

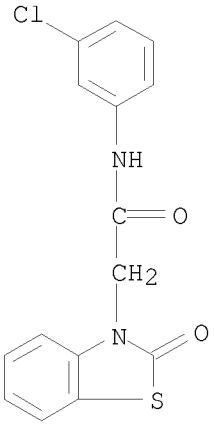
IT **72680-33-2P 72680-34-3P 72680-35-4P**
72680-36-5P 72680-37-6P 72680-47-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and plant growth regulator activity of)

RN 72680-33-2 CAPLUS

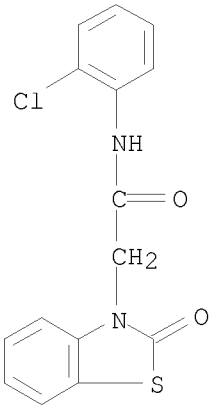
CN 3(2H)-Benzothiazoleacetamide, 2-oxo-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



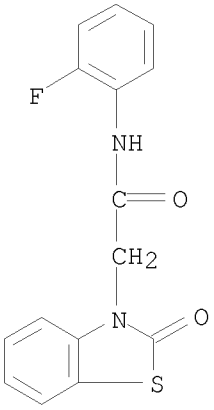
RN 72680-34-3 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(3-chlorophenyl)-2-oxo- (CA INDEX NAME)



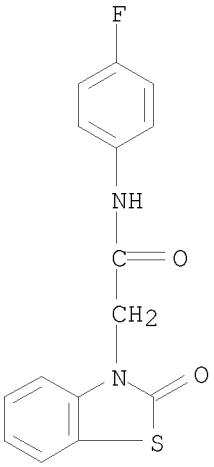
RN 72680-35-4 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(2-chlorophenyl)-2-oxo- (CA INDEX NAME)



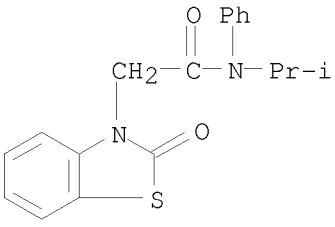
RN 72680-36-5 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(2-fluorophenyl)-2-oxo- (CA INDEX NAME)



RN 72680-37-6 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(4-fluorophenyl)-2-oxo- (CA INDEX NAME)

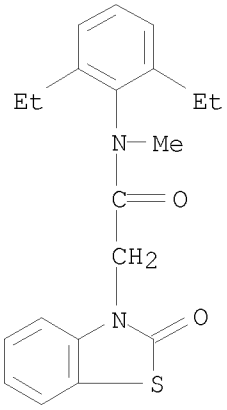


RN 72680-47-8 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(1-methylethyl)-2-oxo-N-phenyl- (CA INDEX NAME)

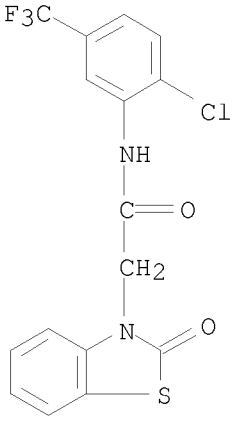


IT **72666-88-7P 72680-38-7P 72680-40-1P**
72680-41-2P 72680-42-3P 72680-43-4P
72680-44-5P 72680-45-6P 72680-46-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72666-88-7 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(2,6-diethylphenyl)-N-methyl-2-oxo- (CA

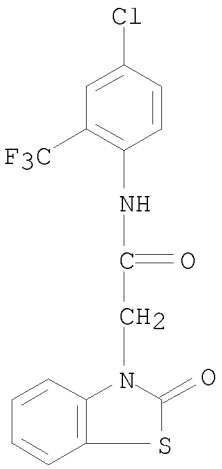
INDEX NAME)



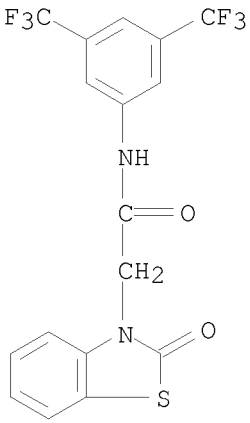
RN 72680-38-7 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-2-oxo-
(CA INDEX NAME)



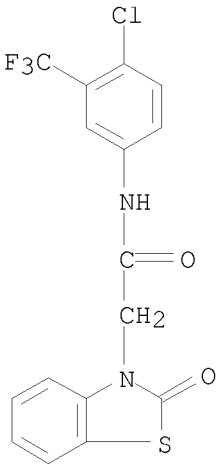
RN 72680-40-1 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[4-chloro-2-(trifluoromethyl)phenyl]-2-oxo-
(CA INDEX NAME)



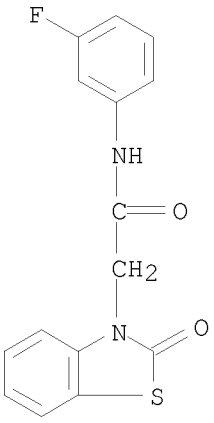
RN 72680-41-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[3,5-bis(trifluoromethyl)phenyl]-2-oxo-
(CA INDEX NAME)



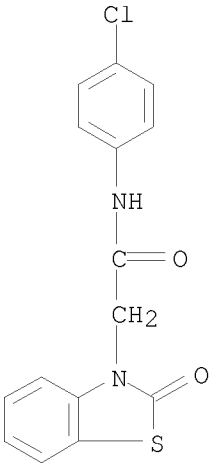
RN 72680-42-3 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-oxo-
(CA INDEX NAME)



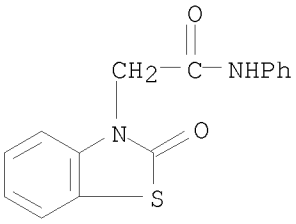
RN 72680-43-4 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(3-fluorophenyl)-2-oxo- (CA INDEX NAME)



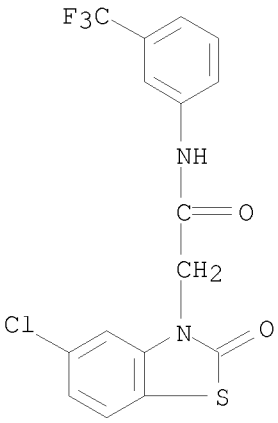
RN 72680-44-5 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, N-(4-chlorophenyl)-2-oxo- (CA INDEX NAME)



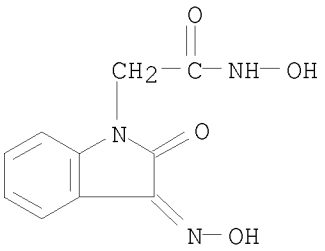
RN 72680-45-6 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 2-oxo-N-phenyl- (CA INDEX NAME)



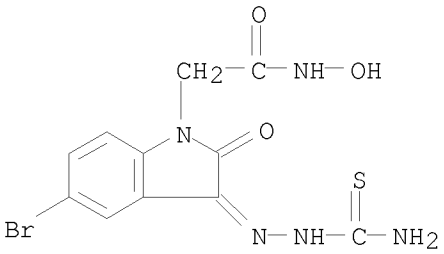
RN 72680-46-7 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-2-oxo-N-[3-(trifluoromethyl)phenyl]-
(CA INDEX NAME)



L13 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:114952 CAPLUS
DOCUMENT NUMBER: 90:114952
ORIGINAL REFERENCE NO.: 90:18023a,18026a
TITLE: Primary screening of viral inhibitors in a tissue culture
AUTHOR(S): Votyakov, V. I.; Shashikhina, M. N.; Zhavrid, S. V.; Zhungietu, G. I.; Rekhter, M. A.; Muntyan, G. E.; Zorin, L. M.; Radul, O. M.; Krasovskii, A. N.; et al.
CORPORATE SOURCE: Beloruss. Nauchno-Issled. Inst. Epidemiol. Mikrobiol., Minsk, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (**1978**), 12(11), 30-4
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Thirteen isatins, 8 **benzimidazoles**, 4 theophyllines, 10 thiophenes, 8 cyclopentanones, and 2 propenones were tested for antiviral activity in various cell cultures. One isatin derivative was effective against parainfluenza and arbovirus and 2 others were effective against arbovirus. The **benzimidazoles** were effective mainly against adenovirus. One theophylline derivative was effective against pox virus and 1 thiophene was effective against herpes. Two cyclopentanones were effective against parainfluenza.
IT **69408-37-3 69408-39-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of)
RN 69408-37-3 CAPLUS
CN 1H-Indole-1-acetamide, 2,3-dihydro-N-hydroxy-3-(hydroxyimino)-2-oxo- (CA INDEX NAME)



RN 69408-39-5 CAPLUS
CN 1H-Indole-1-acetamide, 3-[2-(aminothioxomethyl)hydrazinylidene]-5-bromo-2,3-dihydro-N-hydroxy-2-oxo- (CA INDEX NAME)

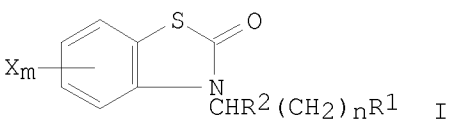


L13 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:17327 CAPLUS
DOCUMENT NUMBER: 88:17327
ORIGINAL REFERENCE NO.: 88:2751a
TITLE: Use of **benzothiazoline** compounds as plant growth regulants
INVENTOR(S): D'Amico, John J.
PATENT ASSIGNEE(S): Monsanto Co., USA
SOURCE: U.S., 12 pp. Cont.-in-part of U.S. 3,993,468.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4049419	A	19770920	US 1976-660741	19760226 <--
US 3993468	A	19761123	US 1975-576512	19750512 <--
BR 7602948	A	19761123	BR 1976-2948	19760511 <--
JP 52007432	A	19770120	JP 1976-53754	19760511 <--
SU 578818	A3	19771030	SU 1976-2357805	19760511 <--
CA 1094841	A1	19810203	CA 1976-252301	19760511 <--

PRIORITY APPLN. INFO.: US 1975-576512 A2 19750512
US 1976-660741 A 19760226

GI



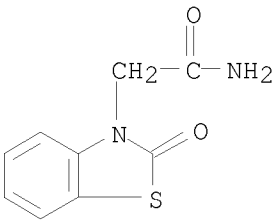
I

AB The title compds. I (X = halo; R1 = CN, CO2H, etc.; R2 = H, CN, etc.; m = 0 or 1; n = 0or 2) and their salts are plant growth regulators, especially for the canopy of leguminous plants. Thus, in greenhouse expts. application of 2-oxo-3-benzothiazolineacetonitrile [61516-68-5] at 6 lb/acre to soybean plants grown from seeds resulted in stature reduction, leaf alteration, thick leaf texture, inhibition of apical development, axillary bud development, and slight leaf burn. The synthesis of I is given.

IT **881-11-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and plant growth regulating activity of)

RN 881-11-8 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, 2-oxo- (CA INDEX NAME)



L13 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:66853 CAPLUS

DOCUMENT NUMBER: 86:66853

ORIGINAL REFERENCE NO.: 86:10593a,10596a

TITLE: Use of 3-substituted **benzothiazolines** as plant growth regulants

INVENTOR(S): D'Amico, John J.

PATENT ASSIGNEE(S): Monsanto Co., USA

SOURCE: U.S., 6 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

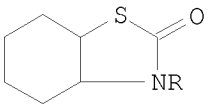
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3993468	A	19761123	US 1975-576512	19750512 <--
US 4049419	A	19770920	US 1976-660741	19760226 <--
NL 7604959	A	19761116	NL 1976-4959	19760510 <--
NL 164730	B	19800915		
NL 164730	C	19810216		
BE 841692	A1	19761112	BE 1976-166920	19760511 <--
BR 7602932	A	19761123	BR 1976-2932	19760511 <--
BR 7602948	A	19761123	BR 1976-2948	19760511 <--
DE 2620789	A1	19761125	DE 1976-2620789	19760511 <--
FR 2310699	A1	19761210	FR 1976-14122	19760511 <--
FR 2310699	B1	19790427		
JP 52007432	A	19770120	JP 1976-53754	19760511 <--
DD 124944	A5	19770323	DD 1976-192781	19760511 <--
ZA 7602798	A	19770427	ZA 1976-2798	19760511 <--
SU 578818	A3	19771030	SU 1976-2357805	19760511 <--
AU 7613820	A	19771117	AU 1976-13820	19760511 <--

AU 501111	B2	19790614			
GB 1501825	A	19780222	GB 1976-19329		19760511 <--
PL 97902	B1	19780330	PL 1976-189459		19760511 <--
SU 646875	A3	19790205	SU 1976-2357804		19760511 <--
CS 188136	B2	19790228	CS 1976-3155		19760511 <--
CA 1094841	A1	19810203	CA 1976-252301		19760511 <--
HU 19870	A2	19810528	HU 1976-MO959		19760511 <--
HU 177691	B	19811228			
PRIORITY APPLN. INFO.:			US 1975-576512	A2	19750512
			US 1976-660741	A	19760226

GI



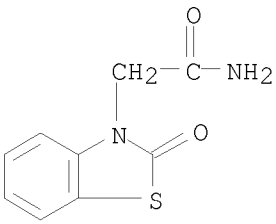
I

AB The title compds. I (R = CH2CN, CH2CONH2, CH2CO2H, etc.), enhance the sucrose content of sugar-bearing plants. Thus, 0.1 kg 2-oxo-3-benzothiazolineacetonitrile [61516-68-5]/ha applied to sugarcane resulted in a 11% increase in sucrose content as compared to untreated controls. The synthesis of I is given.

IT **881-11-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and growth promoting activity of)

RN 881-11-8 CAPLUS

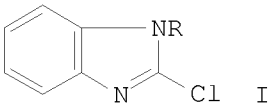
CN 3(2H)-Benzothiazoleacetamide, 2-oxo- (CA INDEX NAME)



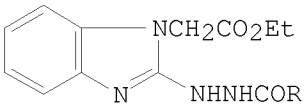
L13 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1976:446502 CAPLUS
DOCUMENT NUMBER:	85:46502
ORIGINAL REFERENCE NO.:	85:7555a,7558a
TITLE:	Synthesis of 1-carboxymethyl-2-chlorobenzimidazole derivatives
AUTHOR(S):	Logachev, E. V.; Povstyanoi, M. V.; Kochergin, P. M.
CORPORATE SOURCE:	Kherson. Filial, Odess. Tekhnol. Inst. im. Lomonosova, Kherson, USSR
SOURCE:	Ukrainskii Khimicheskii Zhurnal (Russian Edition) (**1976**), 42(4), 401-3 CODEN: UKZHAU; ISSN: 0041-6045
DOCUMENT TYPE:	Journal
LANGUAGE:	Russian
OTHER SOURCE(S):	CASREACT 85:46502

GI



I



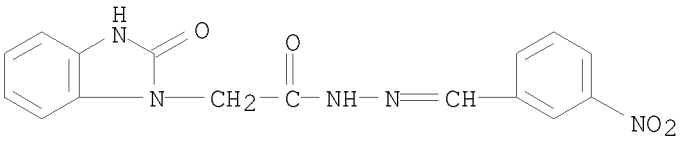
II

AB Reaction of I (R = H) with XCH2CO2Et (X = Br, Cl) gave 42% I (R = CH2CO2Et) which on treatment with H2NNCOR1 gave 57 and 65% II (R1 = 2-HOC6H4, 4-pyridyl) resp. Treatment of I (R = CH2CO2Et) with NH2NH2.H2O gave 85% I (R = CH2CONHNH2) which on treating with R2CHO gave 67-94% I (R = CH2CONHN:CHR2, R2 = Ph, 2-furyl, 3-O2NC6H4, 4-Me2NC6H4).

IT **59769-28-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 59769-28-7 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2,3-dihydro-2-oxo-, 2-[(3-nitrophenyl)methylene]hydrazide (CA INDEX NAME)



L13 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:140010 CAPLUS

DOCUMENT NUMBER: 82:140010

ORIGINAL REFERENCE NO.: 82:22371a,22374a

TITLE: Reactions of cyanomethylbenzimidazoles. I. Synthesis of 1- and 2-cyanomethylbenzimidazoles and some of their derivatives

AUTHOR(S): Sawlewicz, Jozef; Milczarska, Barbara

CORPORATE SOURCE: Inst. Technol. Drug Anal., Med. Acad., Gdansk, Pol.

SOURCE: Polish Journal of Pharmacology and Pharmacy (**1974**), 26(6), 639-46
CODEN: PJPPAA; ISSN: 0301-0244

DOCUMENT TYPE: Journal

LANGUAGE: English

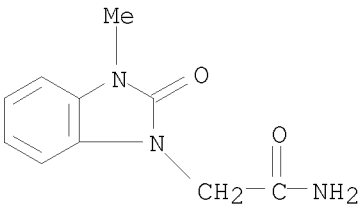
GI For diagram(s), see printed CA Issue.

AB Cyanomethylbenzimidazoles I (R,R1 = H, Me) were prepared by treating the o-phenylenediamines with NCCH2CO2Et. I were converted to their amidoximes and thioamides. II (R2 = H, Me, Et, Pr, Ph) were prepared by treating the **benzimidazoles** with ClCH2CN and were hydrolyzed to their amides and acids.

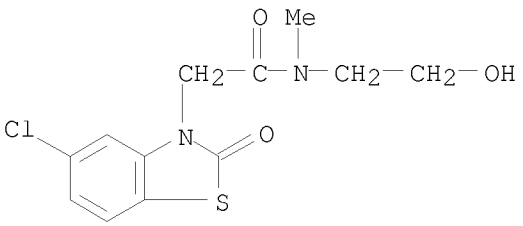
IT **54980-95-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 54980-95-9 CAPLUS

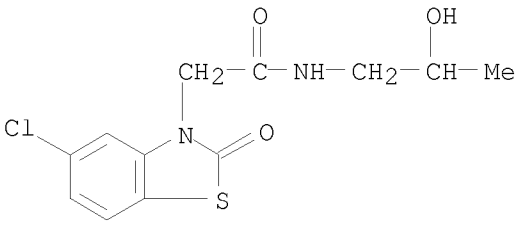
CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-3-methyl-2-oxo- (CA INDEX NAME)



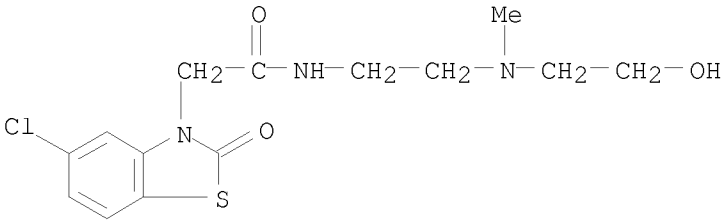
L13 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:483389 CAPLUS
DOCUMENT NUMBER: 77:83389
ORIGINAL REFERENCE NO.: 77:13701a,13704a
TITLE: Pharmacological investigations of **benzothiazoline** derivatives
AUTHOR(S): Takashima, Toshiyuki; Kadoh, Yohichi; Kumada, Shigenobu
CORPORATE SOURCE: Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, Japan
SOURCE: Arzneimittel-Forschung (**1972**), 22(4), 711-15
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Of 15 benzothiazolinone derivs. (I, R = cyclic and acyclic amino substituents), the cyclic amines NTA-272 (I, R = 4-methyl-1-piperazinyl) [33354-22-2], NTA-194 [I, R = 4-(2-hydroxyethyl)-1-piperazinyl] (tiaramide) (II) [32527-55-2], and NTA-208 [I, R = 4-(2-hydroxypropyl)-1-piperazinyl] [32527-53-0] had an antiinflammatory effect on exptl. inflammations in rats and guinea pigs almost equal to that of benzydamine but possessed only slight analgesic and antipyretic effects. The acyclic amines NTA-190 (I, R = NHCH2CHMeOH) [**33353-01-4**], NTA-195 (I, R = NHCH2CH2OCH2CH2OH) [**34002-93-2**], and NTA-239 (I, R = NHCH2CH2NMeCH2CH2OH) [**33353-28-5**] inhibited histamine edema but had no phenylbutazone- or benzydamine-like actions. They had no analgesic and antipyretic effects. In acute toxicity tests, II had the lowest toxicity and ulcerogenicity and was less toxic than benzydamine.
IT **33352-98-6 33353-01-4 33353-28-5 33353-31-0 34002-93-2 38070-21-2**
RL: BIOL (Biological study)
(inflammation inhibitor)
RN 33352-98-6 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(2-hydroxyethyl)-N-methyl-2-oxo- (CA INDEX NAME)



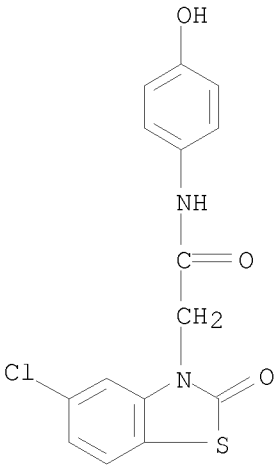
RN 33353-01-4 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(2-hydroxypropyl)-2-oxo- (CA INDEX NAME)



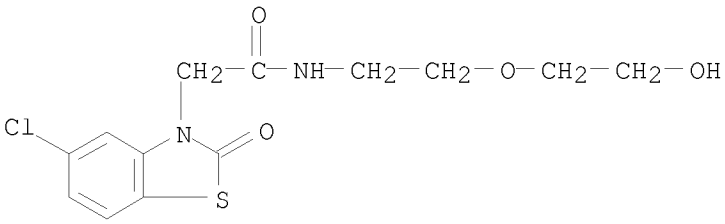
RN 33353-28-5 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[2-[(2-hydroxyethyl)methylamino]ethyl]-2-oxo- (CA INDEX NAME)



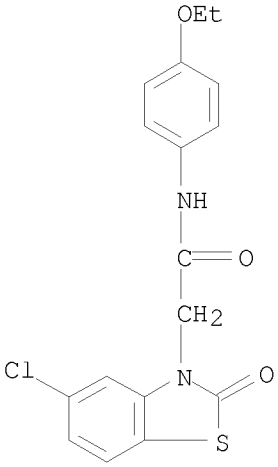
RN 33353-31-0 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(4-hydroxyphenyl)-2-oxo- (CA INDEX NAME)



RN 34002-93-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[2-(2-hydroxyethoxy)ethyl]-2-oxo- (CA INDEX NAME)



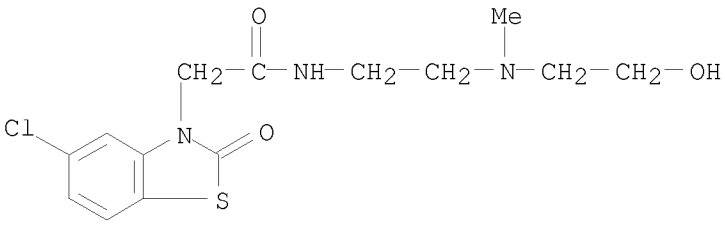
RN 38070-21-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(4-ethoxyphenyl)-2-oxo- (CA INDEX NAME)



L13 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:434498 CAPLUS
DOCUMENT NUMBER: 77:34498
ORIGINAL REFERENCE NO.: 77:5747a,5750a
TITLE: **Benzothiazoline** derivatives
INVENTOR(S): Umio, Suminori
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Brit., 10 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1270841		19720419	GB 1969-16548	19690328 <--

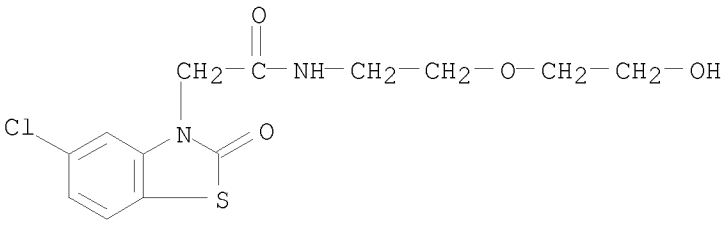
GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = Ac, COCHMe2, COC15H31; R1 = H, Me; R2 = 5-Cl, 6-OMe) were prepared Thus, I (R = R1 = H, R2 = 5-Cl) was treated with AcCl and K2CO3 in CHCl3 and THF to give I (R = Ac, R1 = H, R2 = 5-Cl), which was isolated as the maleate.
IT **33353-28-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33353-28-5 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[2-[(2-hydroxyethyl)methylamino]ethyl]-2-oxo- (CA INDEX NAME)



L13 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:540838 CAPLUS
DOCUMENT NUMBER: 75:140838
ORIGINAL REFERENCE NO.: 75:22229a,22232a
TITLE: Nitrogen-containing condensed heterocyclic fatty amides
INVENTOR(S): Umio, Suminori; Ueda, Ikuo; Kawachi, Hiromu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46027458	B4	19710810	JP	19680702 <--

GI For diagram(s), see printed CA Issue.
AB I, useful as a central nerve depressant, antiinflammatory, and analgesic, was prepared by aminating the corresponding acid. Thus, 5-chloro-2-oxo-3-benzothiazolineacetic acid treated with SOCl2 was dropped into a mixture of 2-(2-aminoethoxy)ethanol, Na2CO3, H2O, and C6H6 and the mixture stirred to give I [X = Cl, Y = 2-(2-hydroxyethoxy)ethylamino]. Similarly prepared were 4 addnl. I.
IT **34002-93-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34002-93-2 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[2-(2-hydroxyethoxy)ethyl]-2-oxo- (CA INDEX NAME)



L13 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:540837 CAPLUS
DOCUMENT NUMBER: 75:140837
ORIGINAL REFERENCE NO.: 75:22229a,22232a
TITLE: Heterocyclic fatty acid amides containing hydroxyalkylaminoalkyl groups

INVENTOR(S): Umio, Suminori; Ueda, Ikuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46027744	B4	19710812	JP	19681105 <--

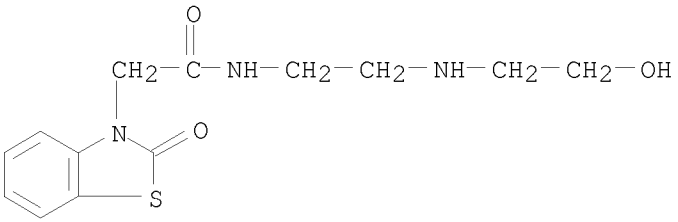
GI For diagram(s), see printed CA Issue.

AB Heterocyclic fatty acids (I, X = halogen, R1 = alkylene) or those reactive derivs. are reacted with H2NR2 (II, R2 = hydroxyalkylaminoalkyl) to give the title derivs. (III, R1, R2 = same as in I and II) useful as central nerve depressants, anodynes, and antiinflammatory drugs. For example, II [R2 = 2-(hydroxyethylamino)ethyl] in C6H6 is mixed dropwise during 5 min with a solution containing I (X = Cl and R1 = CH2) (prepared from 5-chloro-2-oxo-3-**benzothiazoline** and SOCl2), stirred 1 hr at room temperature, and stirred 30 min with 10% HCl to give III [R1 = CH2 and R2 = 2-(hydroxyethylamino)ethyl], m. 155-157°.

IT **34055-31-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34055-31-7 CAPLUS

CN 3-Benzothiazolineacetamide, chloro-N-[2-[(2-hydroxyethyl)amino]ethyl]-2-oxo- (8CI) (CA INDEX NAME)



D1—Cl

L13 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:529802 CAPLUS
DOCUMENT NUMBER: 75:129802
ORIGINAL REFERENCE NO.: 75:20495a,20498a
TITLE: 2-Benzothiazolinone derivatives having an aminoalkylcarbamoyl radical
INVENTOR(S): Umio, Suminori; Kawachi, Hiromu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

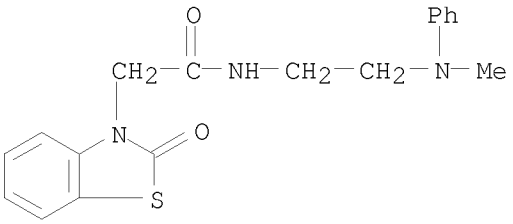
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46021024	B4	19710614	JP	19680617 <--

AB N-(2-Chloroethyl)-2-oxo-3-benzothiazolineacetamide and N-methylaniline in a steel bomb was heated 5.5 hr at 110° to give N-[2-(N-methylanilino)ethyl]-2-oxo-3-benzothiazolineacetamide. Similarly prepared was its 5-Cl derivative They are antiinflammatory, analgesic, and central nerve depressants.

IT **33858-51-4P 33858-52-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

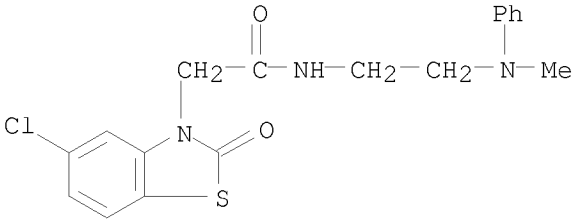
RN 33858-51-4 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, N-[2-(methylphenylamino)ethyl]-2-oxo- (CA INDEX NAME)



RN 33858-52-5 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[2-(methylphenylamino)ethyl]-2-oxo- (CA INDEX NAME)



L13 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:529801 CAPLUS

DOCUMENT NUMBER: 75:129801

ORIGINAL REFERENCE NO.: 75:20495a,20498a

TITLE: 2-Benzothiazolinones having substituted oxyethylcarbamoyl radical

INVENTOR(S): Umio, Suminori; Ueda, Ikuo; Kawachi, Hiromu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46021023	B4	19710614	JP	19680617 <--

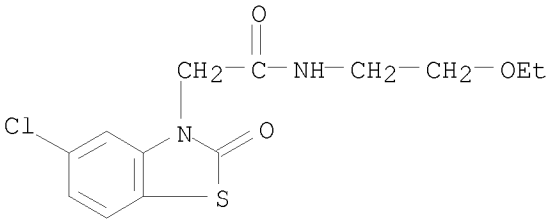
AB N-(2-Ethoxyethyl)-5-chloro-3-benzothiazolineacetamide, useful as an

analgesic, antiinflammatory, and central nerve depressant, was prepared by heating 5-chloro-3-(1-aziridinylcarbonylmethyl)-2-benzothiazolinone in 99% EtOH.

IT **33353-00-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33353-00-3 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(2-ethoxyethyl)-2-oxo- (CA INDEX NAME)



L13 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:529800 CAPLUS

DOCUMENT NUMBER: 75:129800

ORIGINAL REFERENCE NO.: 75:20495a,20498a

TITLE: N-(2-Haloethyl)-2-oxo-3-benzothiazolineacetamides

INVENTOR(S): Umio, Suminori; Ueda, Ikuo; Kawachi, Hiromu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

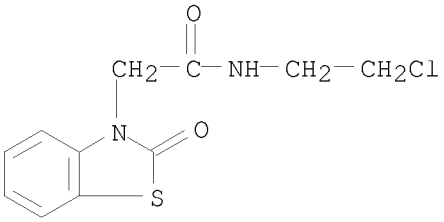
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46021025	B4	19710614	JP	19680801 <--

AB 2-Oxo-3-benzothiazolineacetyl chloride and aziridine in C6H6 were kept overnight after stirring 3 hr to give N-(2-chloroethyl)-2-oxo-3-benzothiazolineacetamide. Similarly was prepared its 5-Cl derivative (I). I was also prepared by treating 5-chloro-3-(aziridinylcarbonylmethyl)-2-benzothiazolinone with HCl. They are analgesic and antiinflammatory drugs.

IT **33858-48-9P 33858-49-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33858-48-9 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, N-(2-chloroethyl)-2-oxo- (CA INDEX NAME)



RN 33858-49-0 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-(2-chloroethyl)-2-oxo- (CA INDEX NAME)